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NEWS 4 APR 04 STN AnaVist \$500 visualization usage credit offered  
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NEWS 6 MAY 11 KOREAPAT updates resume  
NEWS 7 MAY 19 Derwent World Patents Index to be reloaded and enhanced  
NEWS 8 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAPLUS and  
USPATFULL/USPAT2  
NEWS 9 MAY 30 The F-Term thesaurus is now available in CA/CAPLUS  
NEWS 10 JUN 02 The first reclassification of IPC codes now complete in  
INPADOC  
NEWS 11 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and  
and display fields  
  
NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.  
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT  
<http://download.cas.org/express/v8.0-Discover/>  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
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Enter NEWS followed by the item number or name to see news on that  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 07:39:21 ON 27 JUN 2006

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 07:39:31 ON 27 JUN 2006

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STRUCTURE FILE UPDATES: 26 JUN 2006 HIGHEST RN 889573-50-6  
DICTIONARY FILE UPDATES: 26 JUN 2006 HIGHEST RN 889573-50-6

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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```
*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005. A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
*
*****
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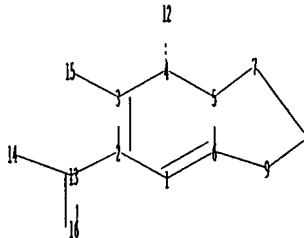
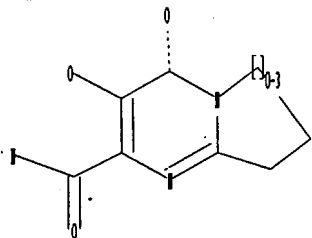
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10540449.str



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chain nodes :
12 13 14 15 16
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-13 3-15 4-12 13-14 13-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 3-4 3-15 4-5 4-12 5-6 5-7 6-9 7-8 8-9 13-14 13-16
exact bonds :
2-13
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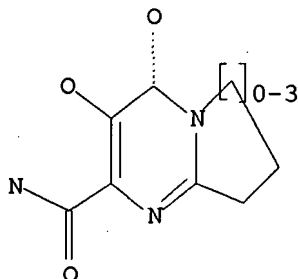
Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS  
13:CLASS 14:CLASS 15:CLASS 16:CLASS

L1        STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1        STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam

SAMPLE SEARCH INITIATED 07:39:50 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -        116 TO ITERATE

100.0% PROCESSED        116 ITERATIONS

10 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*

BATCH    \*\*COMPLETE\*\*

PROJECTED ITERATIONS:        1674 TO        2966

PROJECTED ANSWERS:        11 TO        389

L2        10 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 07:39:55 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -        2322 TO ITERATE

100.0% PROCESSED        2322 ITERATIONS

154 ANSWERS

SEARCH TIME: 00.00.01

L3        154 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 07:40:10 ON 27 JUN 2006

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FILE COVERS 1907 - 27 Jun 2006 VOL 145 ISS 1  
FILE LAST UPDATED: 26 Jun 2006 (20060626/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> s 13

L4 4 L3

=> d 14 ibib hitstr abs 1-4

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1223760 CAPLUS

DOCUMENT NUMBER: 143:477979

TITLE: Preparation of cyclic pyrimidinone compounds as HIV integrase inhibitors

INVENTOR(S): Naidu, B. Narasimhulu

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 48 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005256109	A1	20051117	US 2005-110589	20050420
WO 2005113562	A1	20051201	WO 2005-US13911	20050422
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006106007	A1	20060518	US 2005-273671	20051114
PRIORITY APPLN. INFO.:			US 2004-570348P	P 20040512
			US 2005-110589	A1 20050420

OTHER SOURCE(S): MARPAT 143:477979

IT 869590-67-0P 869590-68-1P 869590-69-2P  
869590-70-5P 869590-71-6P 869590-72-7P  
869590-73-8P 869590-74-9P 869590-75-0P  
869590-76-1P 869590-77-2P 869590-78-3P  
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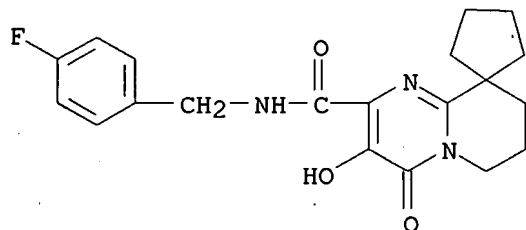
869591-51-5P 869591-52-6P 869591-53-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of cyclic pyrimidinone compds. as HIV integrase inhibitors)

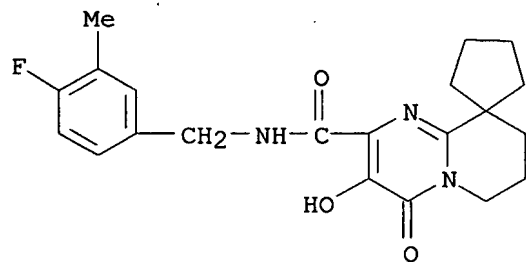
RN 869590-67-0 CAPLUS

CN Spiro[cyclopentane-1,9'-(6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[(4-fluorophenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



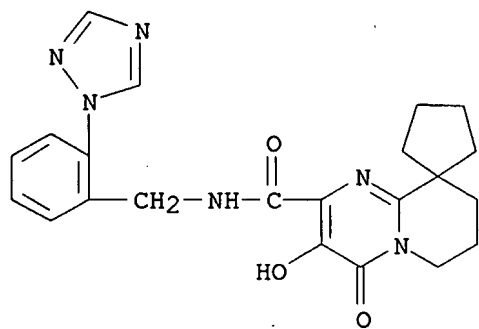
RN 869590-68-1 CAPLUS

CN Spiro[cyclopentane-1,9'-(6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[(4-fluoro-3-methylphenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



RN 869590-69-2 CAPLUS

CN Spiro[cyclopentane-1,9'-(6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, 7',8'-dihydro-3'-hydroxy-4'-oxo-N-[[2-(1H-1,2,4-triazol-1-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)

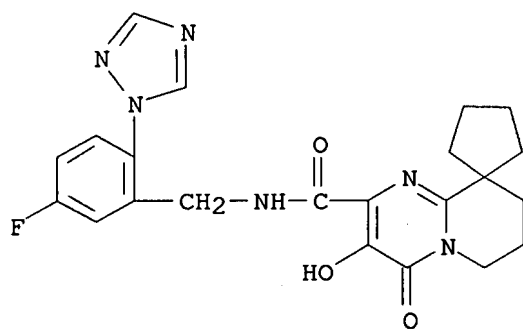


RN 869590-70-5 CAPLUS

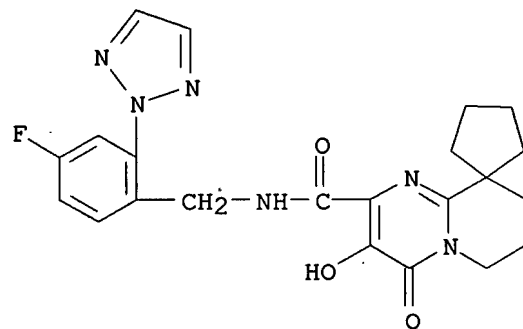
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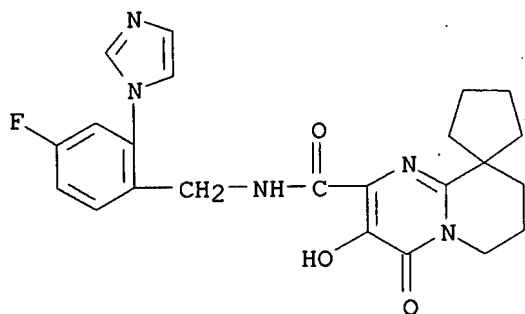
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N-[[5-fluoro-2-(1H-1,2,4-triazol-1-yl)phenyl]methyl]-7',8'-dihydro-3'-  
hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



CN Spiro[cyclopentane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide,  
N-[[4-fluoro-2-(2H-1,2,3-triazol-2-yl)phenyl]methyl]-7',8'-dihydro-3'-  
hydroxy-4'-oxo- (9CI) (CA INDEX NAME)

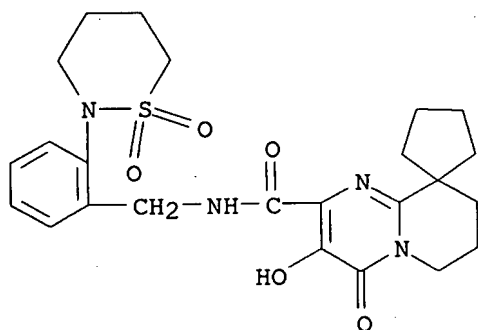


CN Spiro[cyclopentane-1,9'-(6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide,  
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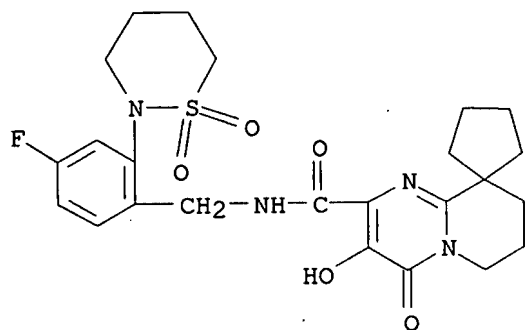
RN 869590-74-9 CAPLUS

CN Spiro[cyclopentane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, 7',8'-dihydro-3'-hydroxy-4'-oxo-N-[[2-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)phenyl)methyl]- (9CI) (CA INDEX NAME)



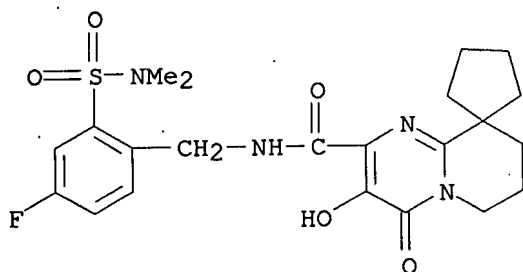
RN 869590-75-0 CAPLUS

CN Spiro[cyclopentane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[[4-fluoro-2-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)phenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



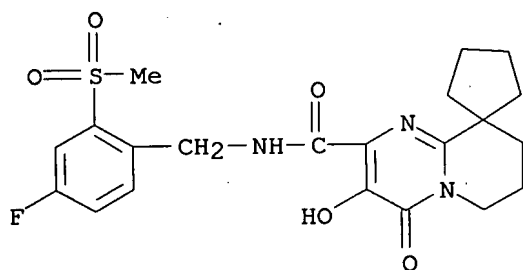
RN 869590-76-1 CAPLUS

CN Spiro[cyclopentane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[[2-[(dimethylamino)sulfonyl]-4-fluorophenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



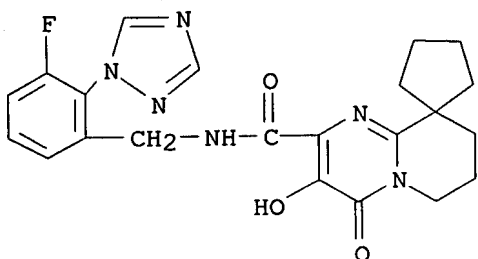
RN 869590-77-2 CAPLUS

CN Spiro[cyclopentane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



RN 869590-78-3 CAPLUS

CN Spiro[cyclopentane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[[3-fluoro-2-(1H-1,2,4-triazol-1-yl)phenyl]methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



RN 869590-80-7 CAPLUS

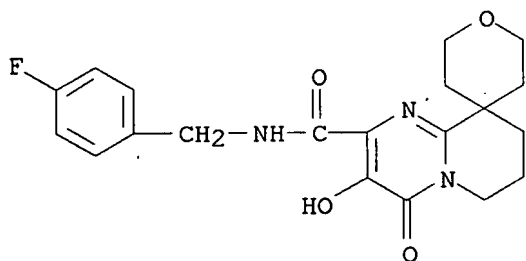
CN Spiro[4H-pyran-4,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[(4-fluorophenyl)methyl]-2,3,5,6,7',8'-hexahydro-3'-hydroxy-4'-oxo-, trifluoroacetate (5:1) (salt) (9CI) (CA INDEX NAME)

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CRN 869590-79-4

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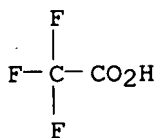




CM 2

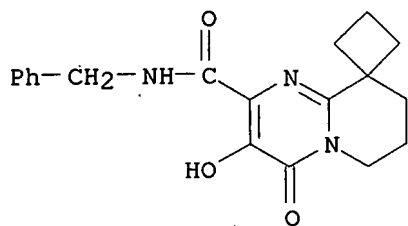
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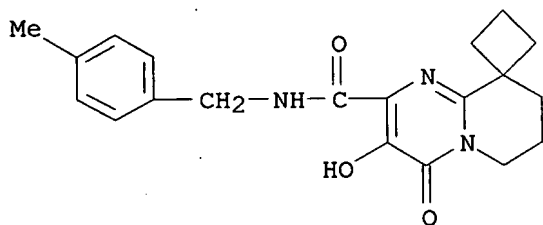
RN 869591-28-6 CAPLUS

CN Spiro[cyclobutane-1,9'-(6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide,  
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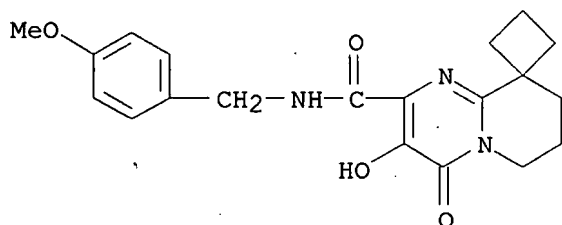
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INDEX NAME)



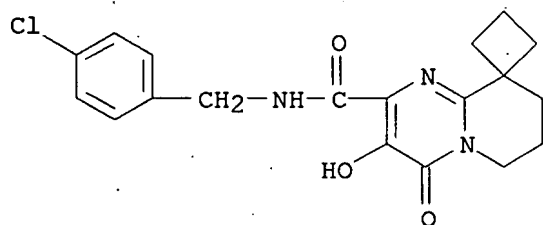
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CN Spiro[cyclobutane-1,9'-(6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide,  
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INDEX NAME)



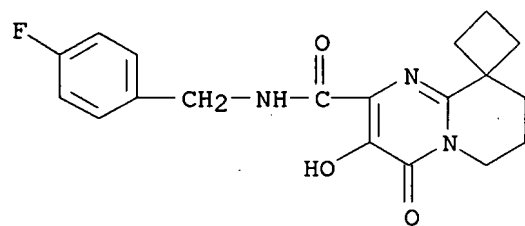
RN 869591-31-1 CAPLUS

CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[(4-chlorophenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



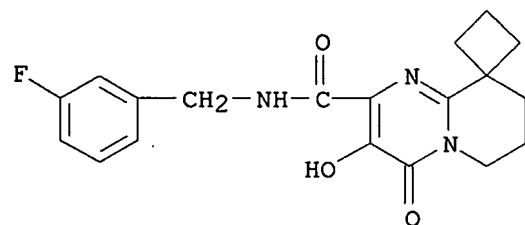
RN 869591-32-2 CAPLUS

CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[(4-fluorophenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



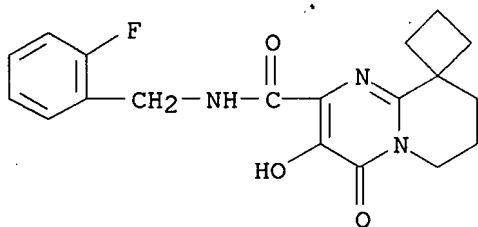
RN 869591-33-3 CAPLUS

CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[(3-fluorophenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



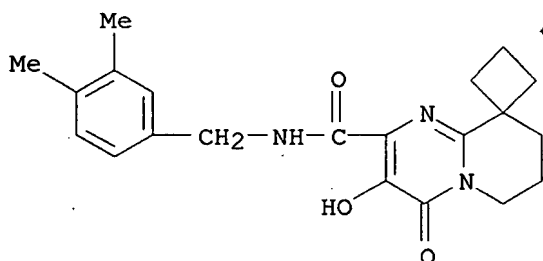
RN 869591-34-4 CAPLUS

CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[(2-fluorophenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



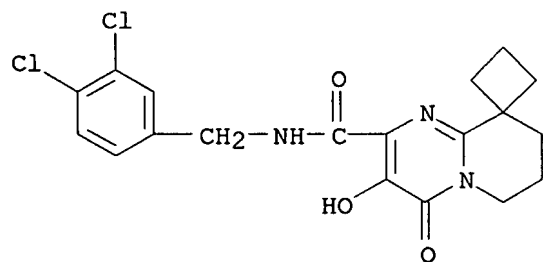
RN 869591-35-5 CAPLUS

CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[(3,4-dimethylphenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



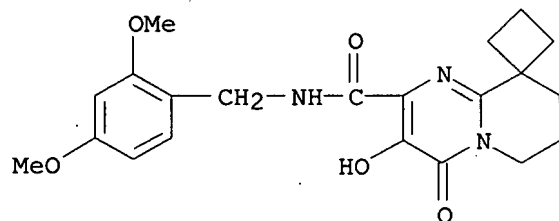
RN 869591-36-6 CAPLUS

CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[(3,4-dichlorophenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



RN 869591-37-7 CAPLUS

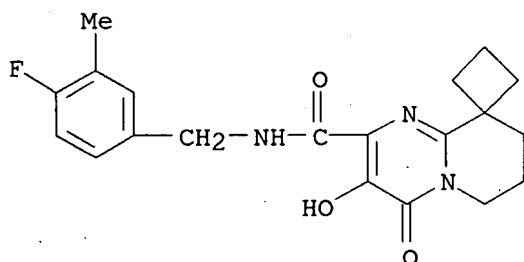
CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[(2,4-dimethoxyphenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



RN 869591-38-8 CAPLUS

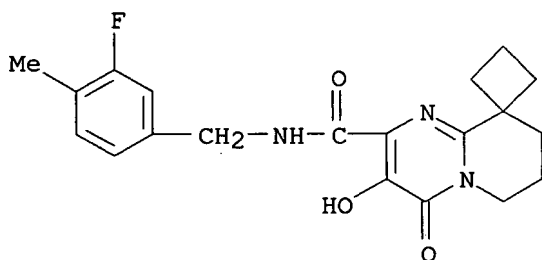
CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide,

N-[(4-fluoro-3-methylphenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI)  
(CA INDEX NAME)



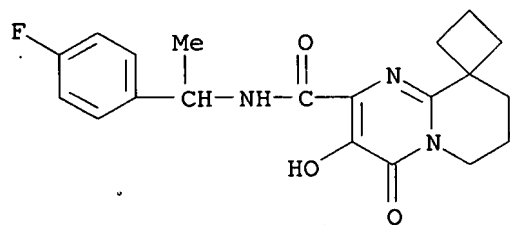
RN 869591-39-9 CAPLUS

CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide,  
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(CA INDEX NAME)



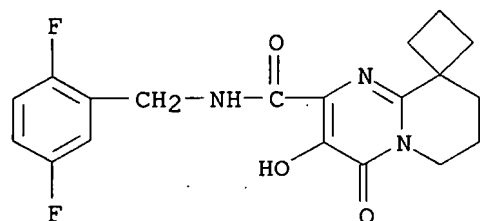
RN 869591-40-2 CAPLUS

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N-[1-(4-fluorophenyl)ethyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA  
INDEX NAME)



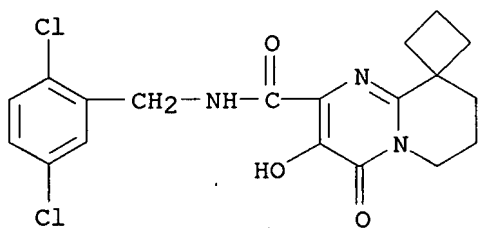
RN 869591-41-3 CAPLUS

CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide,  
N-[(2,5-difluorophenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA  
INDEX NAME)



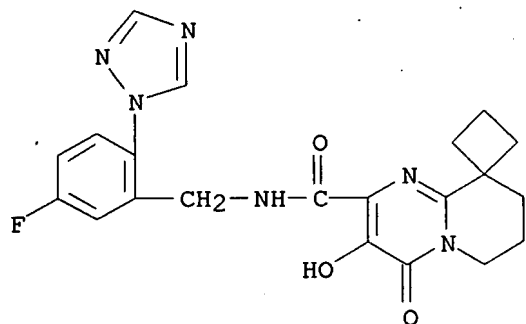
RN 869591-42-4 CAPLUS

CN Spiro[cyclobutane-1,9'-(6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide,  
N-[(2,5-dichlorophenyl)methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA  
INDEX NAME)



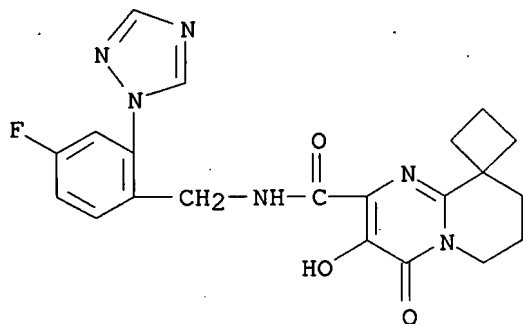
RN 869591-43-5 CAPLUS

CN Spiro[cyclobutane-1,9'-(6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide,  
N-[[5-fluoro-2-(1H-1,2,4-triazol-1-yl)phenyl]methyl]-7',8'-dihydro-3'-  
hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



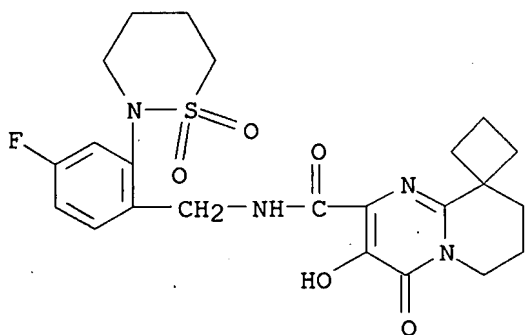
RN 869591-44-6 CAPLUS

CN Spiro[cyclobutane-1,9'-(6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide,  
N-[[4-fluoro-2-(1H-1,2,4-triazol-1-yl)phenyl]methyl]-7',8'-dihydro-3'-  
hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



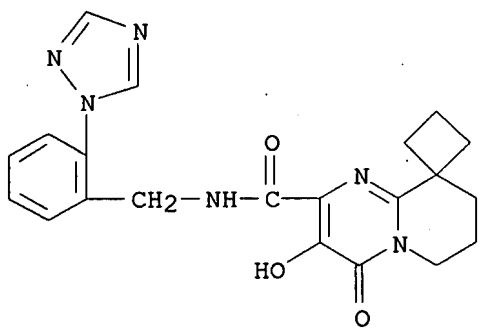
RN 869591-45-7 CAPLUS

CN Spiro[cyclobutane-1,9'-(6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide,  
N-[[4-fluoro-2-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)phenyl]methyl]-  
7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



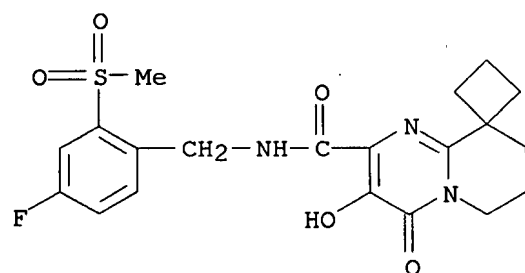
RN 869591-46-8 CAPLUS

CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, 7',8'-dihydro-3'-hydroxy-4'-oxo-N-[[2-(1H-1,2,4-triazol-1-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



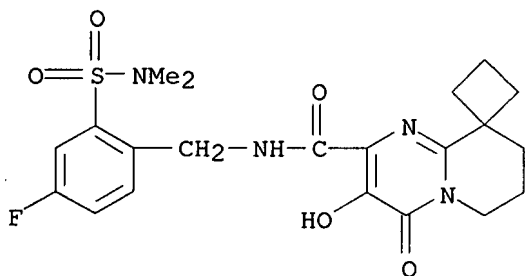
RN 869591-47-9 CAPLUS

CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



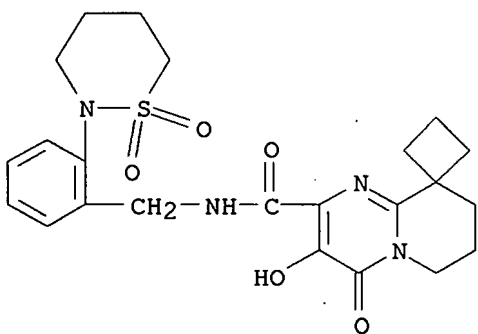
RN 869591-48-0 CAPLUS

CN Spiro[cyclobutane-1,9' (6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, N-[[2-[(dimethylamino)sulfonyl]-4-fluorophenyl]methyl]-7',8'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



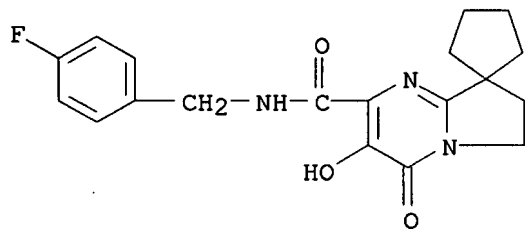
RN 869591-49-1 CAPLUS

CN Spiro[cyclobutane-1,9'-(6'H)-[4H]pyrido[1,2-a]pyrimidine]-2'-carboxamide, 7',8'-dihydro-3'-hydroxy-4'-oxo-N-[[2-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



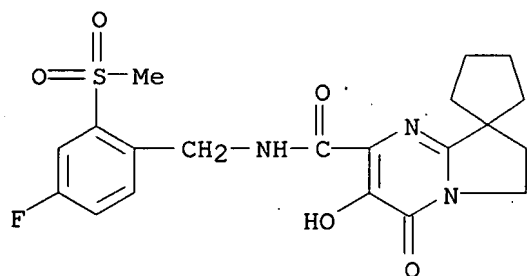
RN 869591-50-4 CAPLUS

CN Spiro[cyclopentane-1,8'-(4'H)-pyrrolo[1,2-a]pyrimidine]-2'-carboxamide, N-[(4-fluorophenyl)methyl]-6',7'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



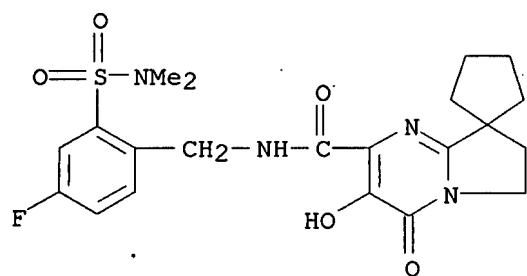
RN 869591-51-5 CAPLUS

CN Spiro[cyclopentane-1,8'-(4'H)-pyrrolo[1,2-a]pyrimidine]-2'-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-6',7'-dihydro-3'-hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



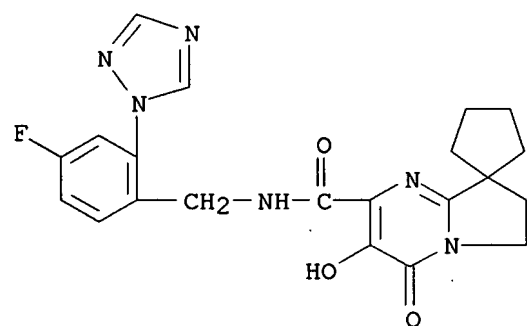
RN 869591-52-6 CAPLUS

CN Spiro[cyclopentane-1,8'-(4'H)-pyrrolo[1,2-a]pyrimidine]-2'-carboxamide,  
N-[[2-[(dimethylamino)sulfonyl]-4-fluorophenyl]methyl]-6',7'-dihydro-3'-  
hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



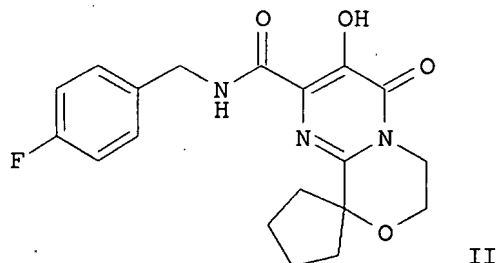
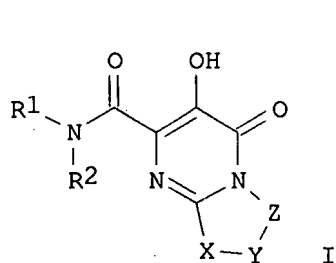
RN 869591-53-7 CAPLUS

CN Spiro[cyclopentane-1,8'-(4'H)-pyrrolo[1,2-a]pyrimidine]-2'-carboxamide,  
N-[[4-fluoro-2-(1H-1,2,4-triazol-1-yl)phenyl]methyl]-6',7'-dihydro-3'-  
hydroxy-4'-oxo- (9CI) (CA INDEX NAME)



GI





AB The invention encompasses a series of pyrimidinone compds. (I, where R1 = C1-6(Ar1)alkyl; R2 = H, C1-6alkyl, or OR6; R6 = H or C1-6alkyl; Ar1 = substituted phenyl; X-Y-Z = C(R8)(R9)CH2CH2, C(R8)(R9)CH2CH2CH2, C(R8)(R9)CH2CH2CH2CH2, C(R8)(R9)OCH2, C(R8)(R9)OCH2CH2, or C(R8)(R9)OCH2CH2CH2; R8 and R9 together = alkyl chain, alkoxy chain, or alkyl-substituted amino) and pharmaceutically acceptable salts or solvates thereof as HIV integrase inhibitors that prevent viral integration into human DNA. This action makes the compds. useful for treating HIV infection and AIDS. The invention also encompasses intermediates useful for making the pyrimidinone compds. Addnl., pharmaceutical compns. and methods for treating those infected with HIV are encompassed. For example, II was prepared from the corresponding spirocyclopentane pyrido[1,2-a]pyrimidine carboxylic acid ester and 4-fluorobenzylamine; as an HIV integrase inhibitor II had an IC50 in the range of 0.001-0.01μM.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:588968 CAPLUS

DOCUMENT NUMBER: 143:115561

TITLE: Preparation of hexahydropyrimido[1,2-a]azepine-2-carboxylates for treatment of HIV and AIDS

INVENTOR(S): Askin, David; Conlon, David; Lee, Jaemoon; Pipik, Brenda; Zhong, Yong-Li; Kohmura, Yoshinori

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061501	A2	20050707	WO 2004-US41115	20041208
WO 2005061501	A3	20060406		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004303856	A1	20050707	AU 2004-303856	20041208
PRIORITY APPLN. INFO.:			US 2003-528704P	P 20031212
			WO 2004-US41115	W 20041208
OTHER SOURCE(S):	MARPAT 143:115561			
IT 724445-90-3P 724446-08-6P 724446-10-0P				

724783-88-4P 857672-38-9P 857672-39-0P

857672-41-4P 857672-42-5P 857859-45-1P

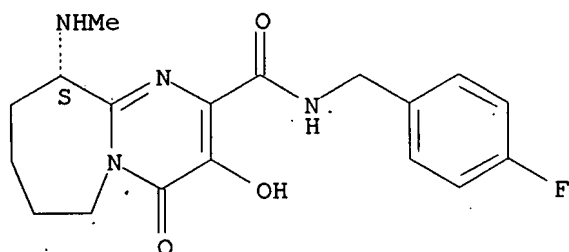
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of hexahydropyrimido[1,2-a]azepine-2-carboxylates for treatment  
of HIV and AIDS)

RN 724445-90-3 CAPLUS

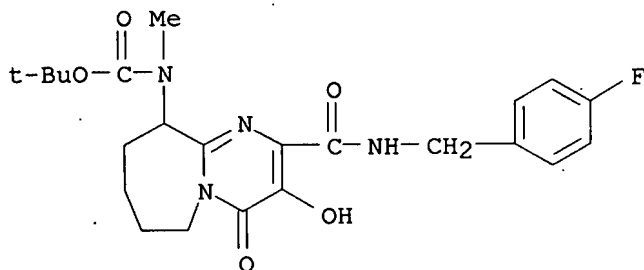
CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
4,6,7,8,9,10-hexahydro-3-hydroxy-10-(methylamino)-4-oxo-, (10S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



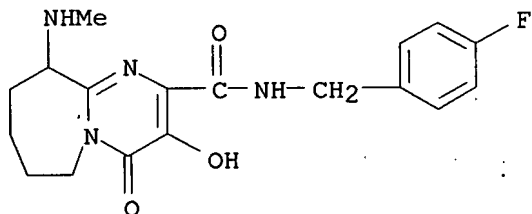
RN 724446-08-6 CAPLUS

CN Carbamic acid, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-  
hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]methyl-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 724446-10-0 CAPLUS

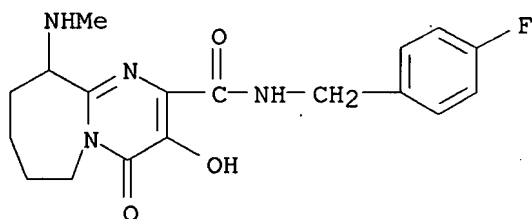
CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
4,6,7,8,9,10-hexahydro-3-hydroxy-10-(methylamino)-4-oxo-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

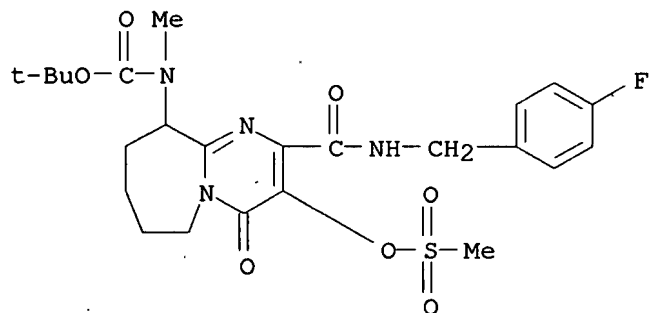
RN 724783-88-4 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
4,6,7,8,9,10-hexahydro-3-hydroxy-10-(methylamino)-4-oxo- (9CI) (CA INDEX  
NAME)



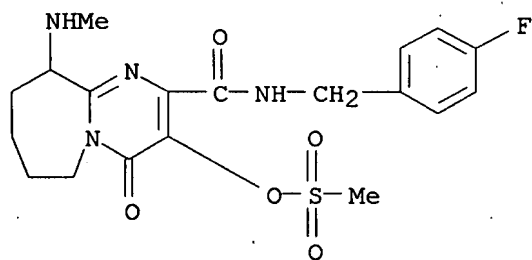
RN 857672-38-9 CAPLUS

CN Carbamic acid, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-  
hexahydro-3-[(methylsulfonyl)oxy]-4-oxopyrimido[1,2-a]azepin-10-yl)methyl-  
, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 857672-39-0 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
4,6,7,8,9,10-hexahydro-10-(methylamino)-3-[(methylsulfonyl)oxy]-4-oxo-,  
monohydrochloride (9CI) (CA INDEX NAME)

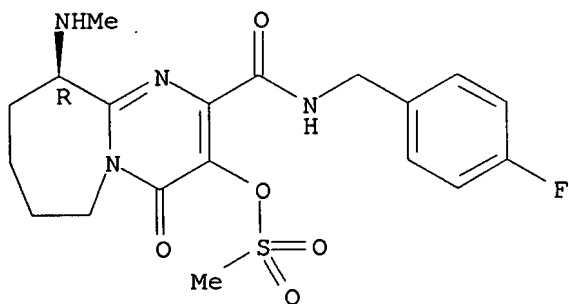


● HCl

RN 857672-41-4 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
4,6,7,8,9,10-hexahydro-10-(methylamino)-3-[(methylsulfonyl)oxy]-4-oxo-,  
(10R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 857672-42-5 CAPLUS

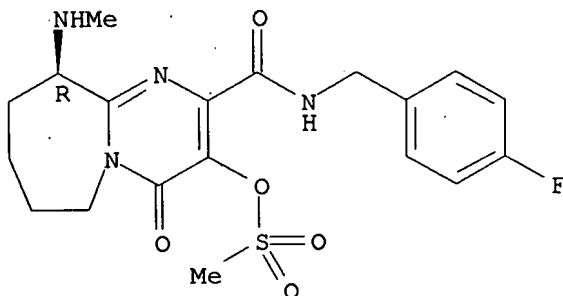
CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, (2S,3S)-, compd. with (10R)-N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-10-(methylamino)-3-[(methylsulfonyl)oxy]-4-oxopyrimido[1,2-a]azepine-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 857672-41-4

CMF C19 H23 F N4 O5 S

Absolute stereochemistry.

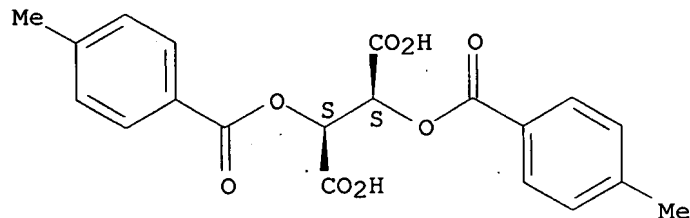


CM 2

CRN 32634-68-7

CMF C20 H18 O8

Absolute stereochemistry. Rotation (+).



RN 857859-45-1 CAPLUS

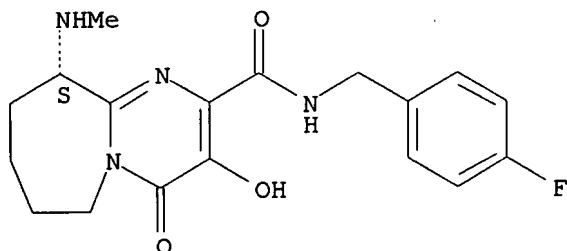
CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, (2R,3R)-, compd. with (10S)-N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-10-(methylamino)-4-oxopyrimido[1,2-a]azepine-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 724445-90-3

CMF C18 H21 F N4 O3

Absolute stereochemistry. Rotation (-).

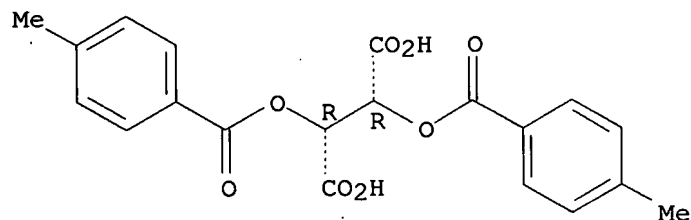


CM 2

CRN 32634-66-5

CMF C20 H18 O8

Absolute stereochemistry. Rotation (-).



IT 724444-38-6P 724444-40-0P 857672-40-3P

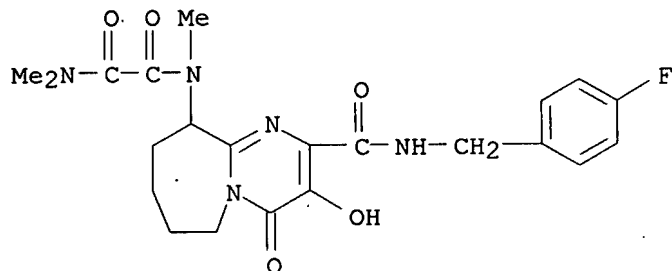
857672-43-6P 857672-44-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of hexahydropyrimido[1,2-a]azepine-2-carboxylates for treatment of HIV and AIDS)

RN 724444-38-6 CAPLUS

CN Ethanediamide, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl- (9CI) (CA INDEX NAME).

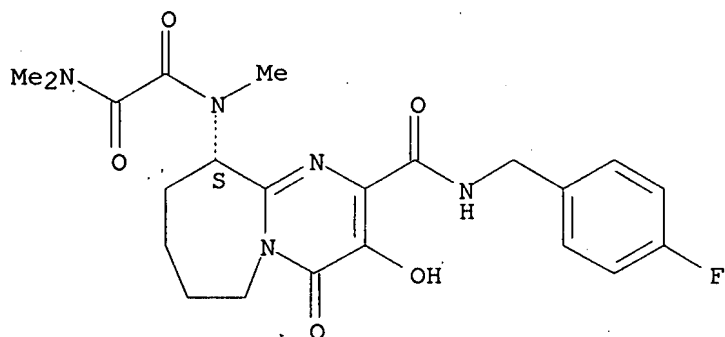


RN 724444-40-0 CAPLUS

CN Ethanediamide, [(10S)-2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-

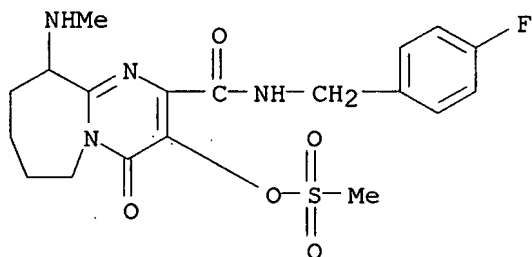
yl]trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 857672-40-3 CAPLUS

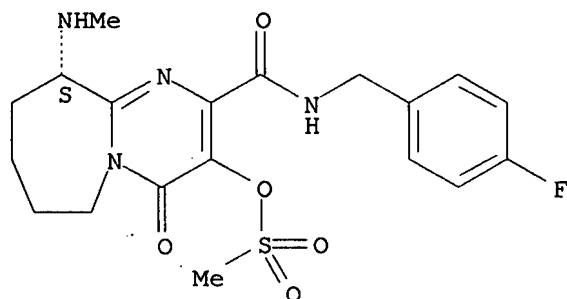
CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
4,6,7,8,9,10-hexahydro-10-(methylamino)-3-[(methylsulfonyl)oxy]-4-oxo-  
(9CI) (CA INDEX NAME)



RN 857672-43-6 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
4,6,7,8,9,10-hexahydro-10-(methylamino)-3-[(methylsulfonyl)oxy]-4-oxo-,  
(10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



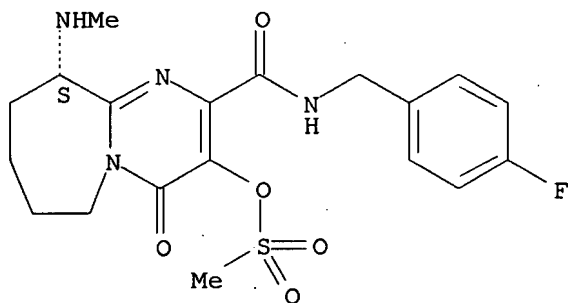
RN 857672-44-7 CAPLUS

CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, (2S,3S)-, compd. with  
(10S)-N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-10-(methylamino)-3-  
[(methylsulfonyl)oxy]-4-oxopyrimido[1,2-a]azepine-2-carboxamide (1:2)  
(9CI) (CA INDEX NAME)

CM 1

CRN 857672-43-6  
CMF C19 H23 F N4 O5 S

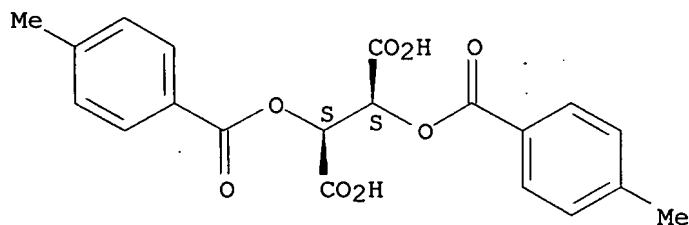
Absolute stereochemistry.



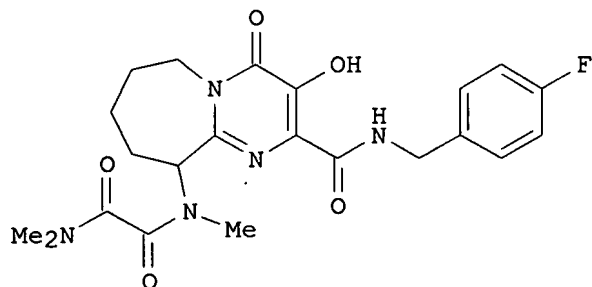
CM 2

CRN 32634-68-7  
CMF C20 H18 O8

Absolute stereochemistry. Rotation (+).



GI



I

AB Processes for preparing 10-amino-3-hydroxy-4-oxo-4,6,7,8,9,10-hexahydropyrimido[1,2-a]azepine-2-carboxylates and related compds. are disclosed. The preparation of carboxamide derivs. from these carboxylates is also disclosed. The carboxamides are HIV integrase inhibitors and are useful for treating HIV infection and AIDS. E.g., I was prepared in a series of steps starting with dihydropyran.

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:566604 CAPLUS

DOCUMENT NUMBER: 141:123648

TITLE: A preparation of tetrahydro-4H-pyrido[1,2-a]pyrimidine

INVENTOR(S): derivatives, useful as HIV integrase inhibitors  
Crescenzi, Benedetta; Kinzel, Olaf; Muraglia, Ester;  
Orvieto, Federica; Pescatore, Giovanna; Rowley,  
Michael; Summa, Vincenzo

PATENT ASSIGNEE(S): Istituto Di Ricerche Di Biologia Molecolare P.  
Angeletti Spa, Italy

SOURCE: PCT Int. Appl., 55 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058757	A1	20040715	WO 2003-GB5543	20031218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003292437	A1	20040722	AU 2003-292437	20031218
BR 2003017749	A	20051122	BR 2003-17749	20031218
CN 1753892	A	20060329	CN 2003-80109921	20031218
NO 2005003624	A	20050926	NO 2005-3624	20050726
PRIORITY APPLN. INFO.:			US 2002-436830P	P 20021227
			US 2003-528776P	P 20031212
			WO 2003-GB5543	W 20031218

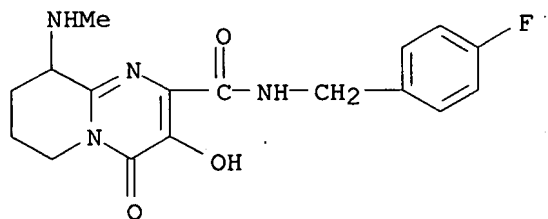
OTHER SOURCE(S): MARPAT 141:123648

IT 724445-39-0P 724445-88-9P 724446-08-6P  
724446-10-0P 724446-22-4P 724783-89-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of pyridopyrimidine derivs., useful as HIV integrase inhibitors)

RN 724445-39-0 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-(methylamino)-4-oxo- (9CI) (CA INDEX NAME)

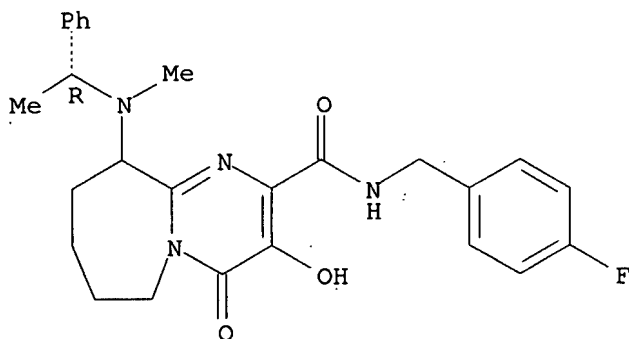


RN 724445-88-9 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-10-[methyl[(1R)-1-phenylethyl]amino]-4-oxo- (9CI) (CA INDEX NAME)

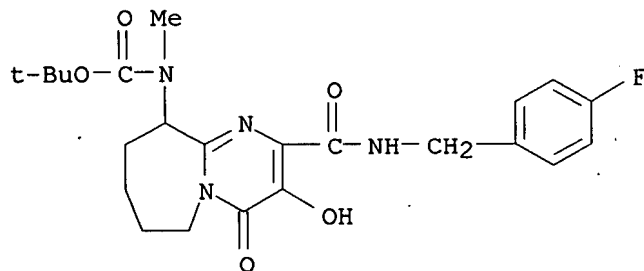
Absolute stereochemistry.





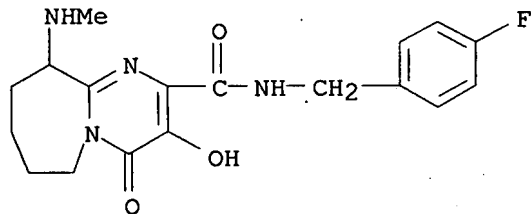
RN 724446-08-6 CAPLUS

CN Carbamic acid, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl)methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 724446-10-0 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-10-(methylamino)-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

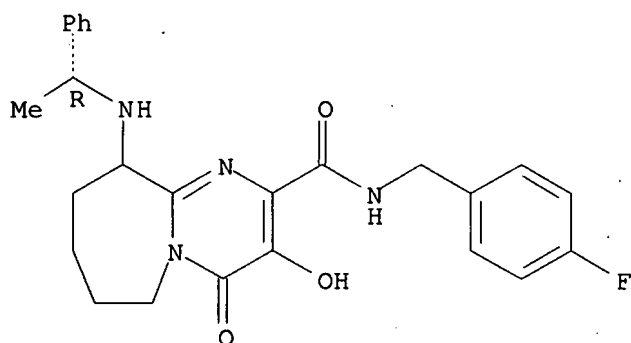


● HCl

RN 724446-22-4 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxo-10-[[ (1R)-1-phenylethyl]amino]- (9CI) (CA INDEX NAME)

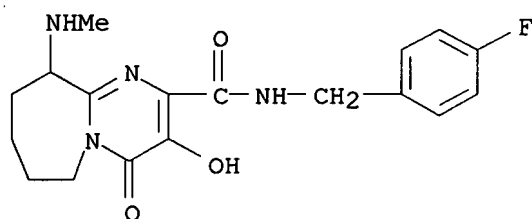
Absolute stereochemistry.



RN 724783-89-5 CAPLUS  
 CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
 4,6,7,8,9,10-hexahydro-3-hydroxy-10-(methylamino)-4-oxo-,  
 mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

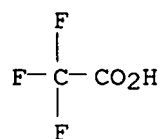
CM 1

CRN 724783-88-4  
 CMF C18 H21 F N4 O3



CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



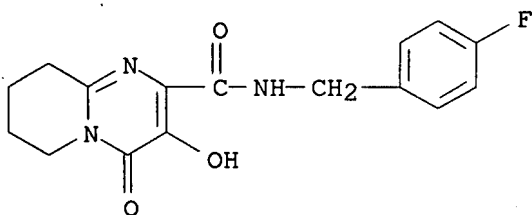
IT 724443-34-9P 724443-46-3P 724443-54-3P  
 724443-58-7P 724443-70-3P 724443-96-3P  
 724443-97-4P 724443-98-5P 724444-00-2P  
 724444-02-4P 724444-04-6P 724444-08-0P  
 724444-10-4P 724444-12-6P 724444-14-8P  
 724444-16-0P 724444-22-8P 724444-28-4P  
 724444-30-8P 724444-32-0P 724444-34-2P  
 724444-38-6P 724444-40-0P 724444-42-2P  
 724444-44-4P 724444-48-8P 724444-50-2P  
 724444-52-4P 724783-82-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of pyridopyrimidine derivs., useful as HIV integrase inhibitors)

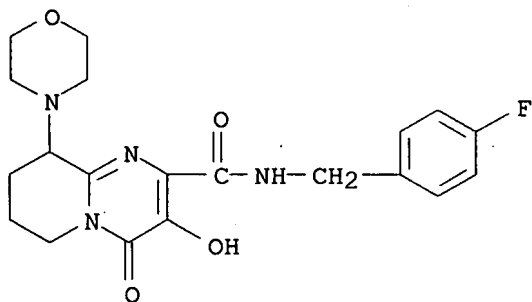
RN 724443-34-9 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME).



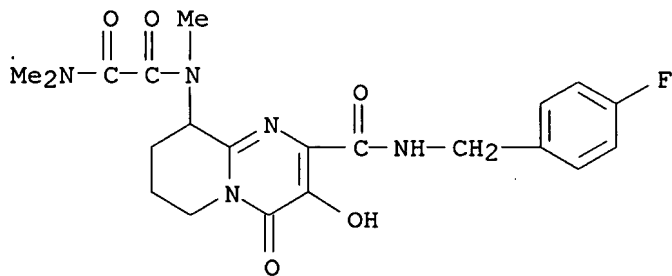
RN 724443-46-3 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-(4-morpholinyl)-4-oxo- (9CI) (CA INDEX NAME)



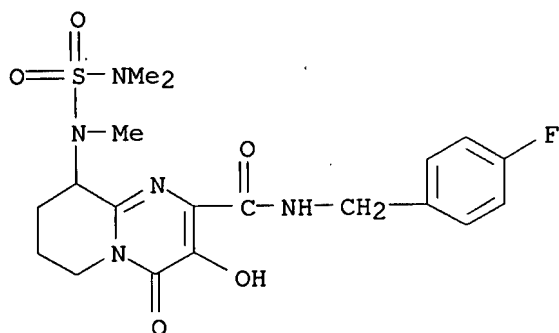
RN 724443-54-3 CAPLUS

CN Ethanediarnide, . [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)



RN 724443-58-7 CAPLUS

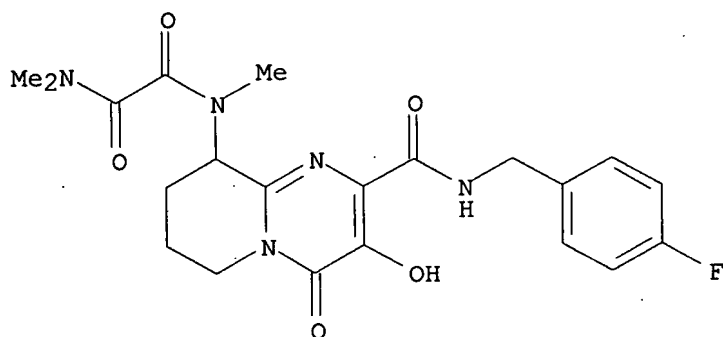
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[[(dimethylamino)sulfonyl]methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



RN 724443-70-3 CAPLUS

CN Ethanediameide, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl-, (+)-(9CI) (CA INDEX NAME)

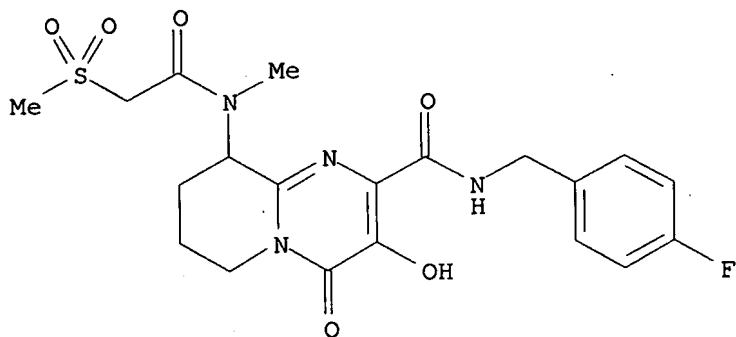
Rotation (+).



RN 724443-96-3 CAPLUS

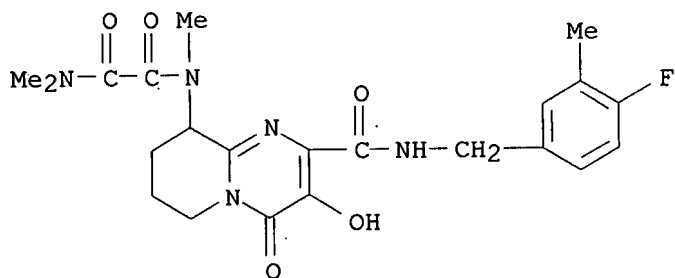
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl[(methylsulfonyl)acetyl]amino]-4-oxo-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

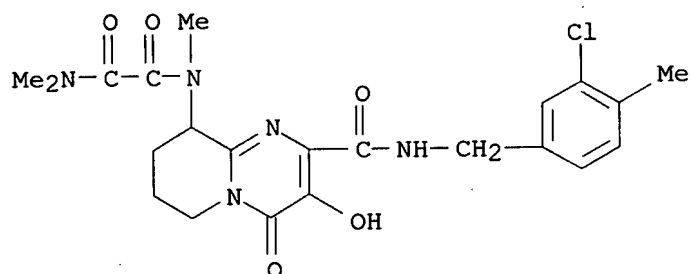


RN 724443-97-4 CAPLUS

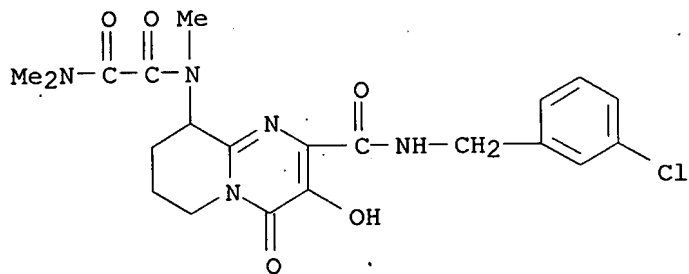
CN Ethanediameide, [2-[[[(4-fluoro-3-methylphenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)



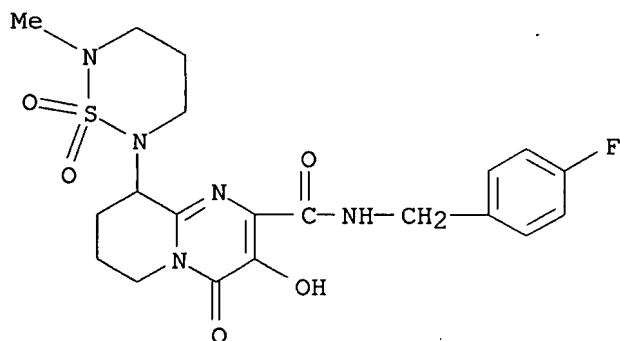
RN 724443-98-5 CAPLUS  
 CN Ethanediamide, [2-[[[(3-chloro-4-methylphenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)



RN 724444-00-2 CAPLUS  
 CN Ethanediamide, [2-[[[(3-chlorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)



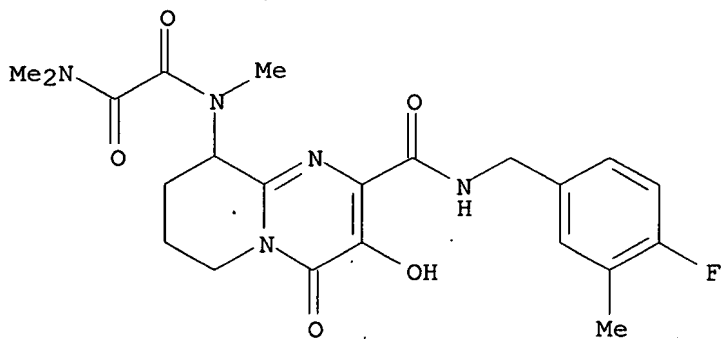
RN 724444-02-4 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-9-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)- (9CI) (CA INDEX NAME)



RN 724444-04-6 CAPLUS

CN Ethanediame, [2-[[[(4-fluoro-3-methylphenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl-, (-)- (9CI) (CA INDEX NAME)

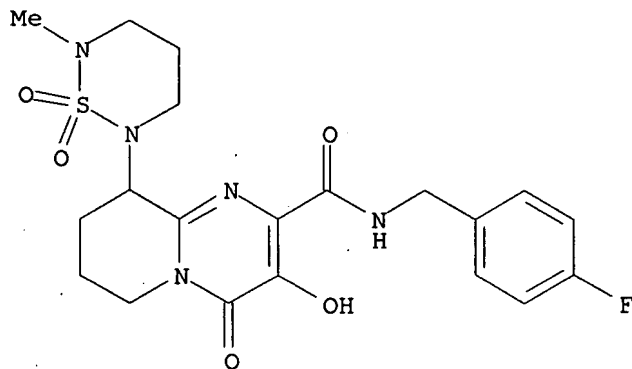
Rotation (-).



RN 724444-08-0 CAPLUS

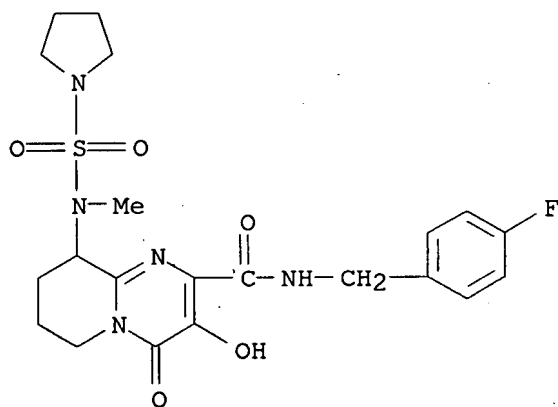
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-9-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



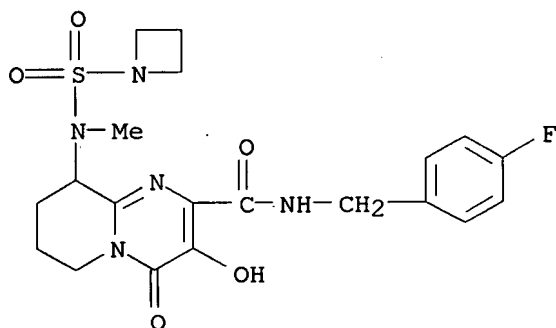
RN 724444-10-4 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl (1-pyrrolidinylsulfonyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 724444-12-6 CAPLUS

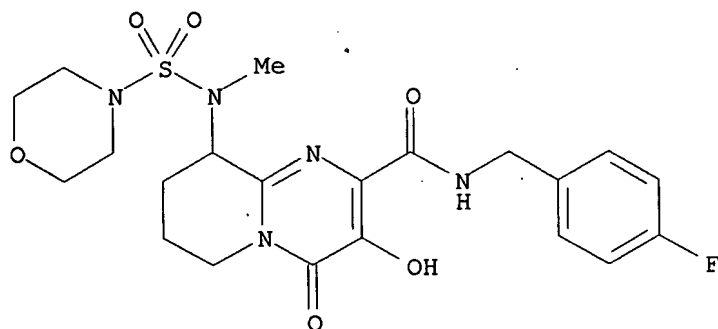
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[(1-azetidinylsulfonyl)methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



RN 724444-14-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(4-morpholinylsulfonyl)amino]-4-oxo-, (-)- (9CI) (CA INDEX NAME)

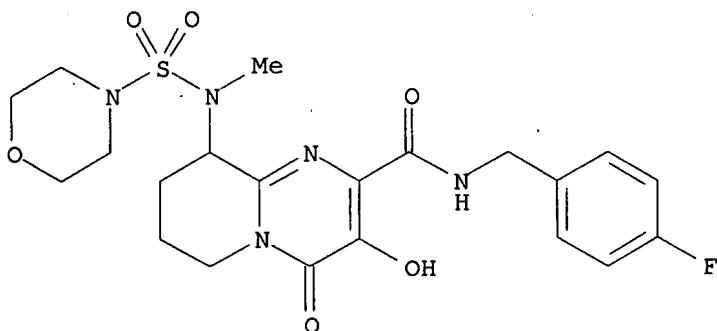
Rotation (-).



RN 724444-16-0 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(4-morpholinylsulfonyl)amino]-4-oxo-, (+)- (9CI) (CA INDEX NAME)

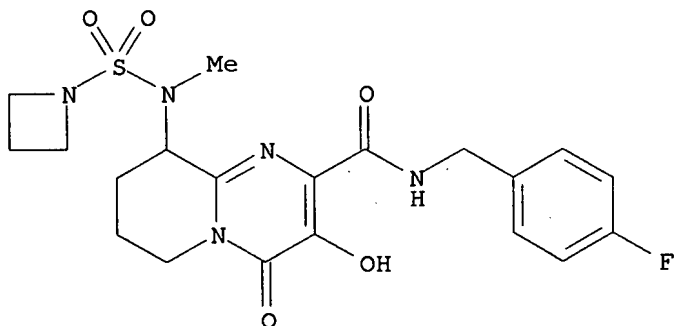
Rotation (+).



RN 724444-22-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[(1-azetidinylsulfonyl)methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-, (+)- (9CI) (CA INDEX NAME)

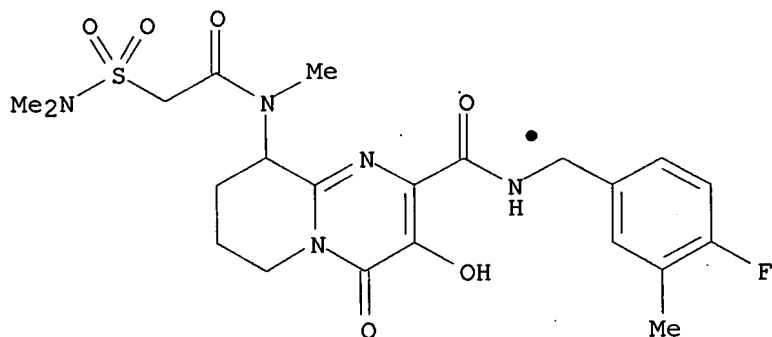
Rotation (+).



RN 724444-28-4 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[[(dimethylamino)sulfonyl]acetyl]methylamino]-N-[(4-fluoro-3-methylphenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

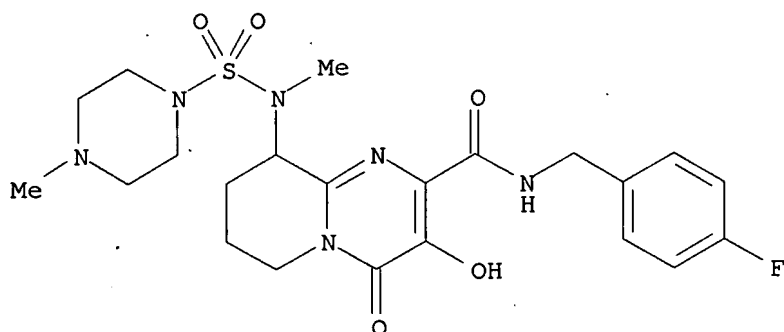


RN 724444-30-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl[(4-methyl-1-piperazinyl)sulfonyl]amino]-4-oxo-, (-)- (9CI) (CA INDEX NAME)



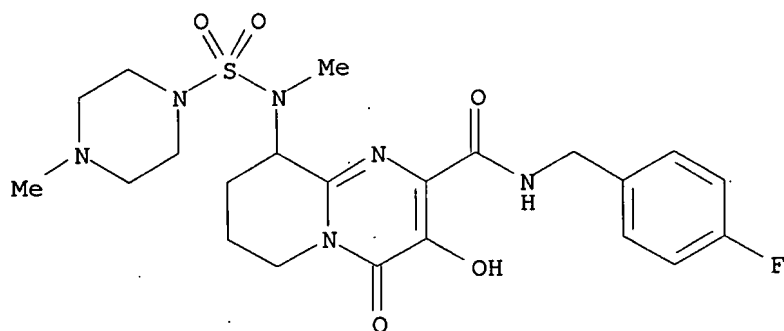
Rotation (-).



RN 724444-32-0 CAPLUS

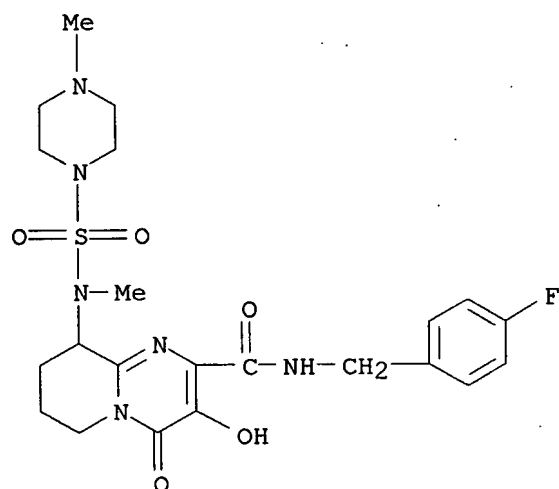
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl[(4-methyl-1-piperazinyl)sulfonyl]amino]-4-oxo-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



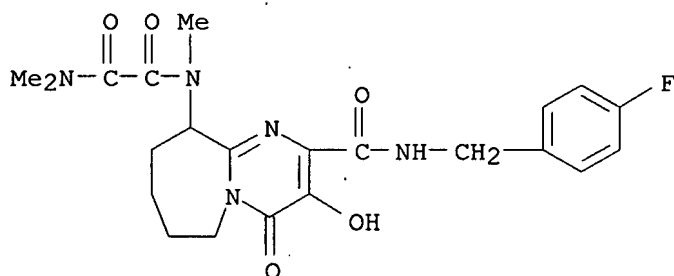
RN 724444-34-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl[(4-methyl-1-piperazinyl)sulfonyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 724444-38-6 CAPLUS

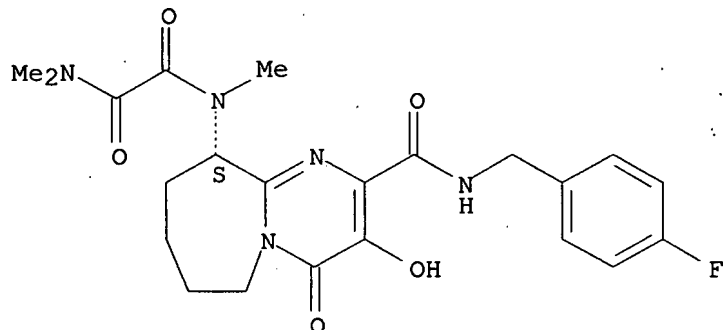
CN Ethanediarnide, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl- (9CI) (CA INDEX NAME)



RN 724444-40-0 CAPLUS

CN Ethanediarnide, [(10S)-2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl- (9CI) (CA INDEX NAME)

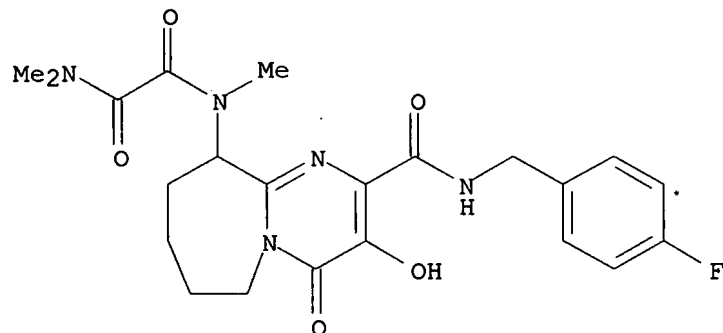
Absolute stereochemistry. Rotation (-).



RN 724444-42-2 CAPLUS

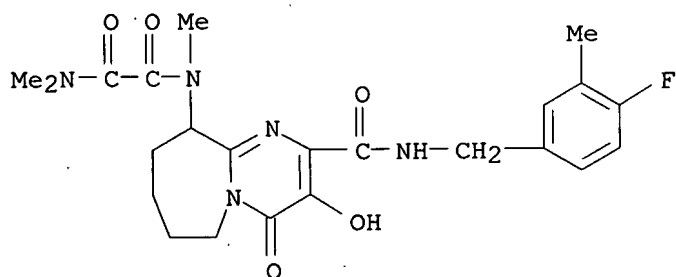
CN Ethanediarnide, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 724444-44-4 CAPLUS

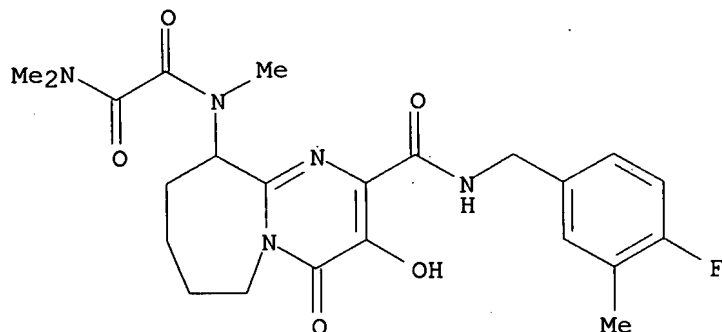
CN Ethanediarnide, [2-[[[(4-fluoro-3-methylphenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl- (9CI) (CA INDEX NAME)



RN 724444-48-8 CAPLUS

CN Ethanediarnide, [2-[[[(4-fluoro-3-methylphenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl-, (+)- (9CI) (CA INDEX NAME)

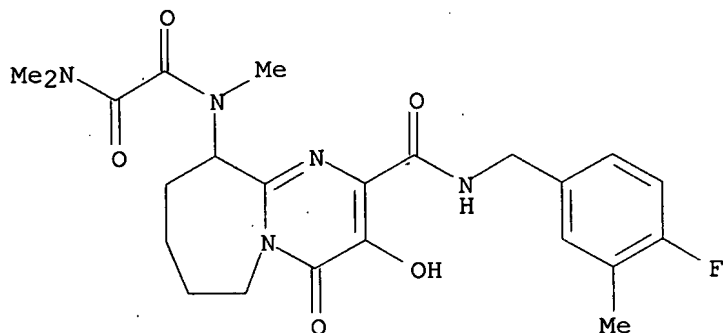
Rotation (+).



RN 724444-50-2 CAPLUS

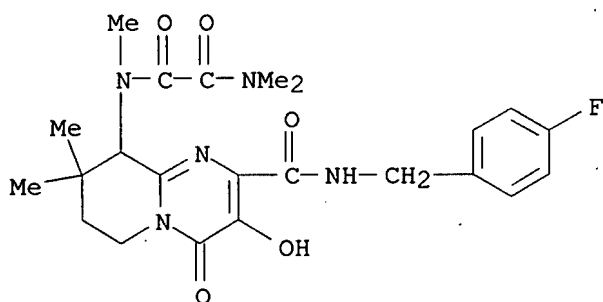
CN Ethanediarnide, [2-[[[(4-fluoro-3-methylphenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



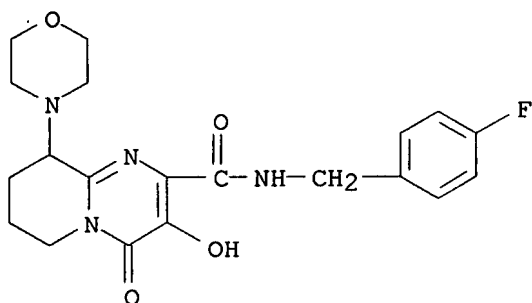
RN 724444-52-4 CAPLUS

CN Ethanediarnide, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-8,8-dimethyl-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)



RN 724783-82-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-(4-morpholinyl)-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



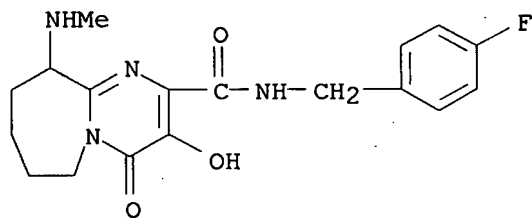
● HCl

IT 724783-88-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reactant; preparation of pyridopyrimidine derivs., useful as HIV integrase inhibitors)

RN 724783-88-4 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-10-(methylamino)-4-oxo- (9CI) (CA INDEX NAME)



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to a preparation of tetrahydro-4H-pyrido[1,2-a]pyrimidine derivs. of formula I [wherein: X is (CH<sub>2</sub>)<sub>1-2</sub>; R<sub>1</sub> and R<sub>2</sub> are both H or Me; R<sub>3</sub> is H; R<sub>4</sub> is p-fluorobenzyl, 4-fluoro-3-methylbenzyl, 3-chlorobenzyl, or 3-chloro-4-methylbenzyl; R<sub>5</sub> is H, N(Me)C(O)CH<sub>2</sub>SO<sub>2</sub>Me, N(Me)SO<sub>2</sub>NMe<sub>2</sub>, or -SO<sub>2</sub>-Y, etc.; Y is a N-containing 4- or 5-membered ring, or morpholinyl, etc.], useful as inhibitors of HIV integrase and inhibitors of HIV replication (no biol. data). These compds. are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. For instance, compound II was prepared via heterocyclization of 2-iminopiperidine-1-ol with di-Me acetylenedicarboxylate, thermal rearrangement of the obtained oxadiazolopyridine derivative III, and subsequent amidation of the obtained pyridopyrimidine derivative IV by 4-fluorobenzylamine (example 1).

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:566603 CAPLUS  
DOCUMENT NUMBER: 141:123647  
TITLE: Preparation of tetrahydro-4H-pyrido[1,2-a]pyrimidines and related compounds as HIV integrase inhibitors  
INVENTOR(S): Crescenzi, Benedetta; Kinzel, Olaf; Muraglia, Ester; Orvieto, Federica; Pescatore, Giovanna; Rowley, Michael; Summa, Vincenzo  
PATENT ASSIGNEE(S): Istituto Di Ricerche Di Biologia Molecolare P. Angeletti Spa, Italy  
SOURCE: PCT Int. Appl., 113 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058756	A1	20040715	WO 2003-GB5536	20031218
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2509554	AA	20040715	CA 2003-2509554	20031218
AU 2003292436	A1	20040722	AU 2003-292436	20031218
EP 1578748	A1	20050928	EP 2003-768014	20031218
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CN 1753892	A	20060329	CN 2003-80109921	20031218
JP 2006513200	T2	20060420	JP 2004-563339	20031218
US 2006046985	A1	20060302	US 2005-540449	20050622
PRIORITY APPLN. INFO.:			US 2002-436830P	P 20021227
			US 2003-528776P	P 20031212
			WO 2003-GB5536	W 20031218
OTHER SOURCE(S):	MARPAT 141:123647			
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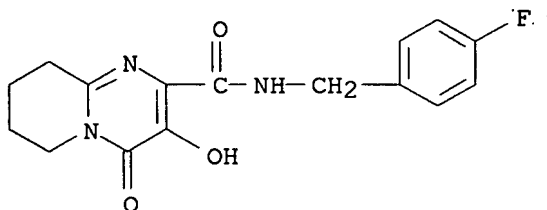
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of tetrahydropyridopyrimidine derivs. as HIV integrase inhibitors)

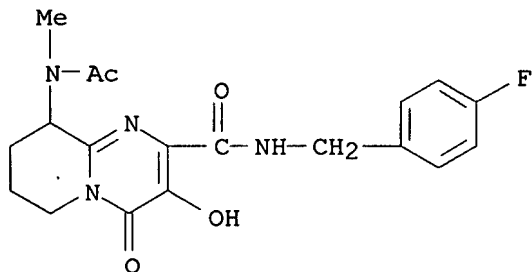
RN 724443-34-9 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
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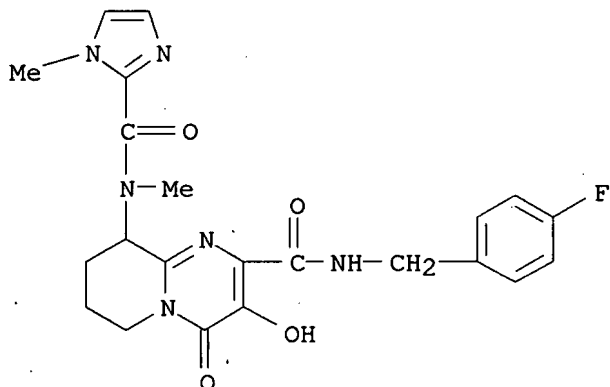
RN 724443-36-1 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-(acetylmethylamino)-N-[(4-  
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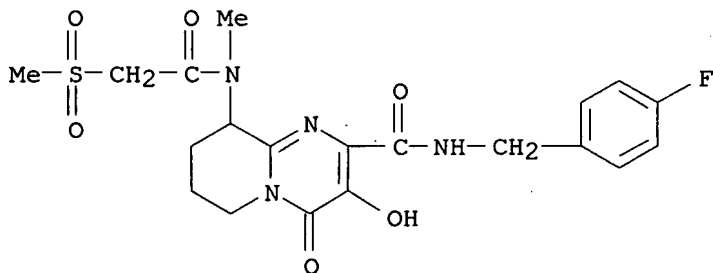
RN 724443-38-3 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
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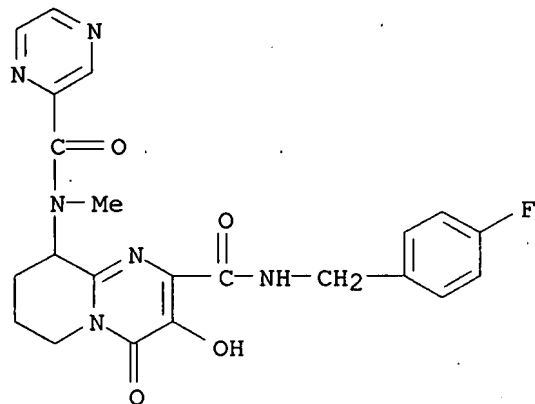
RN 724443-40-7 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
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RN 724443-42-9 CAPLUS

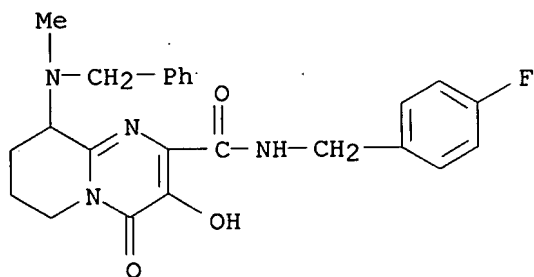
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(pyrazinylcarbonyl)amino]-4-oxo-  
(9CI) (CA INDEX NAME)



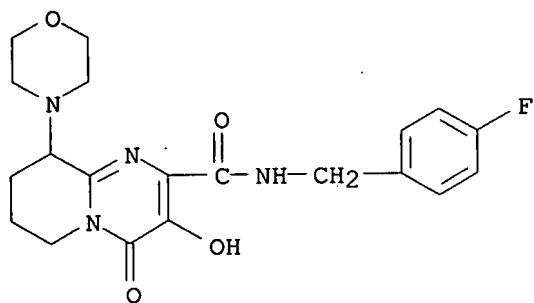
RN 724443-44-1 CAPLUS

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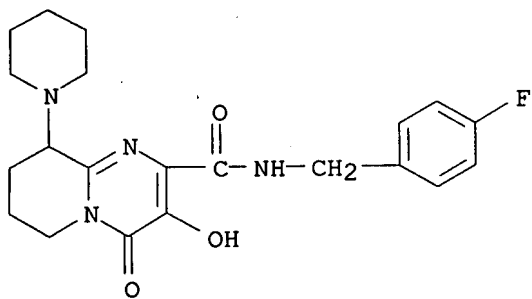
6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(phenylmethyl)amino]-4-oxo- (9CI)  
(CA INDEX NAME)



RN 724443-46-3 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
6,7,8,9-tetrahydro-3-hydroxy-9-(4-morpholinyl)-4-oxo- (9CI) (CA INDEX  
NAME)

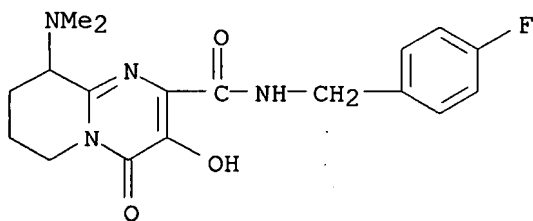


RN 724443-48-5 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
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NAME)



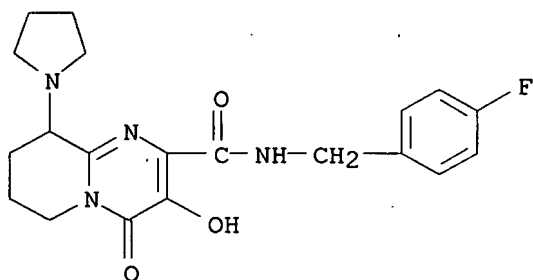
RN 724443-50-9 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-(dimethylamino)-N-[(4-  
fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX  
NAME)





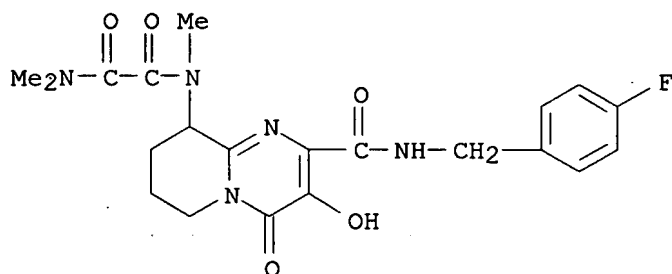
RN 724443-52-1 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-9-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



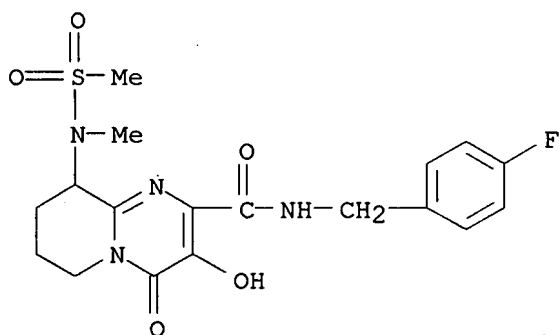
RN 724443-54-3 CAPLUS

CN Ethanediarnide, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)



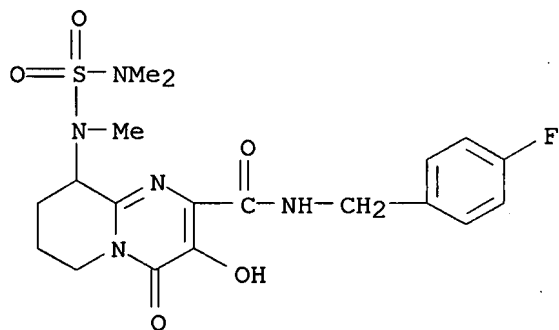
RN 724443-56-5 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(methylsulfonyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



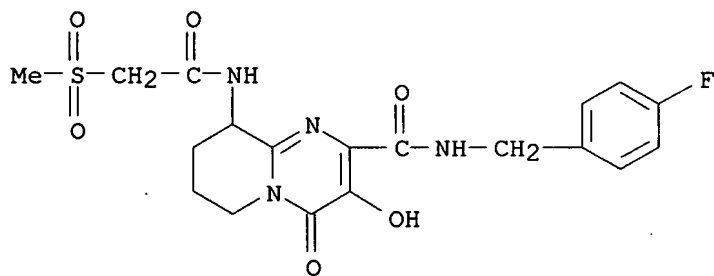
RN 724443-58-7 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[dimethylamino]sulfonyl]methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



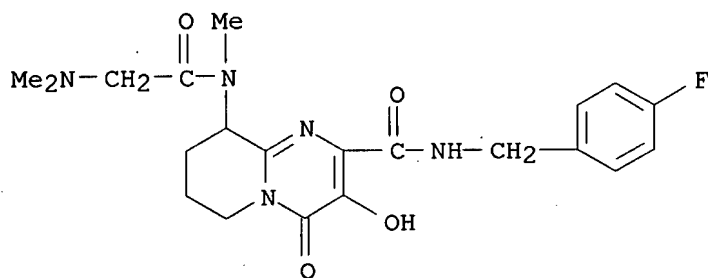
RN 724443-60-1 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[[methylsulfonyl]acetyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



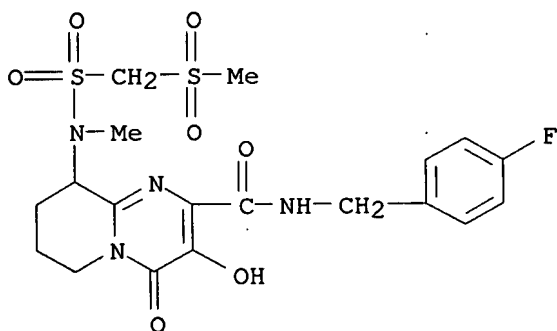
RN 724443-62-3 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[dimethylamino]acetyl]methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



RN 724443-64-5 CAPLUS

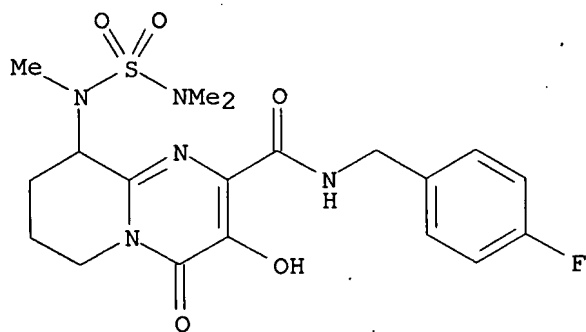
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl[(methylsulfonyl)methyl]sulfonyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 724443-66-7 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[[(dimethylamino)sulfonyl]methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-, (+)- (9CI) (CA INDEX NAME)

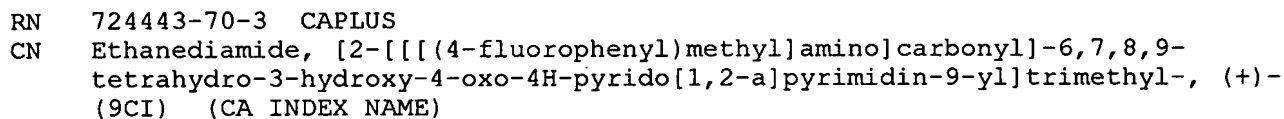
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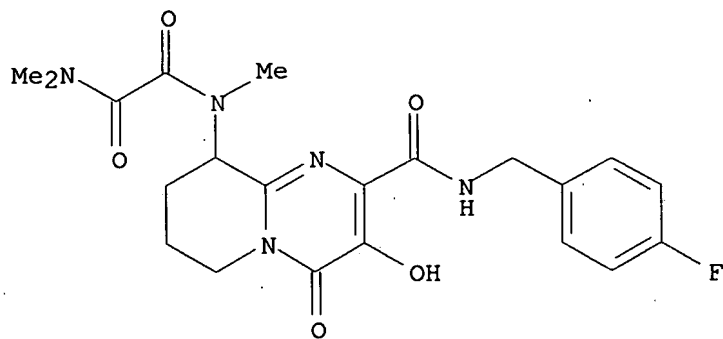
RN 724443-68-9 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[[(dimethylamino)sulfonyl]methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-, (-)- (9CI) (CA INDEX NAME)

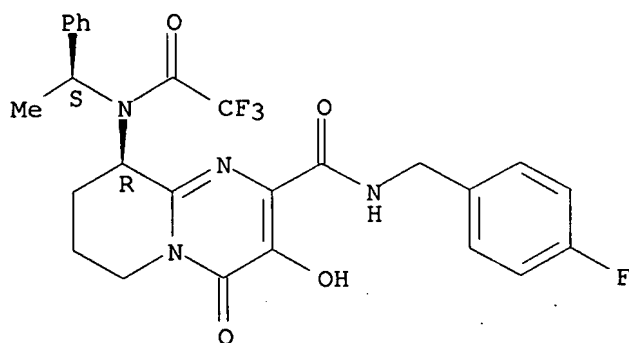
Rotation (-).

CN(C)C(=O)C(=O)N(C)C1CCCN2C(=O)C(O)=NC(=N2C1)C(=O)NCCc1ccc(F)cc1

Rotation (-).



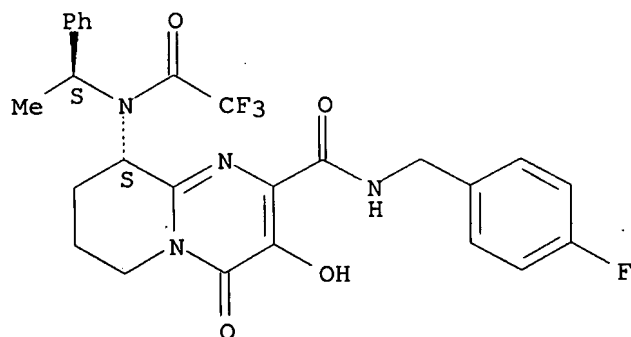
Absolute stereochemistry.



RN 724443-76-9 CAPLUS

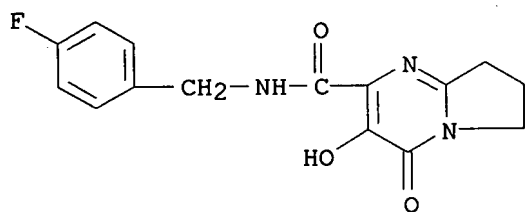
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-9-[(1S)-1-phenylethyl](trifluoroacetyl)amino-, (9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



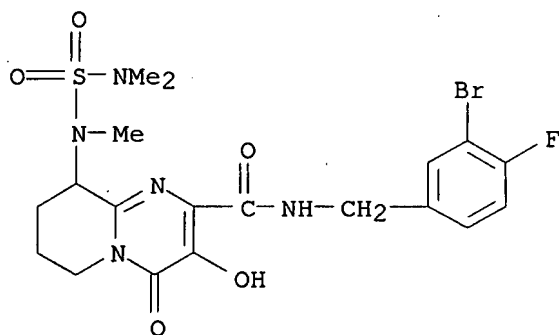
RN 724443-78-1 CAPLUS

CN Pyrrolo[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



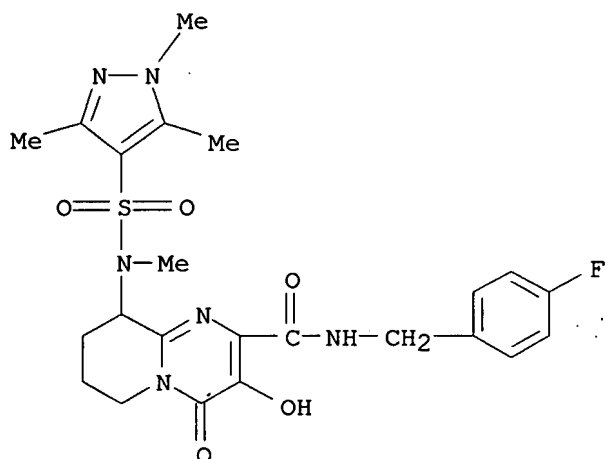
RN 724443-80-5 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(3-bromo-4-fluorophenyl)methyl]-9-[[dimethylamino)sulfonyl)methylamino]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



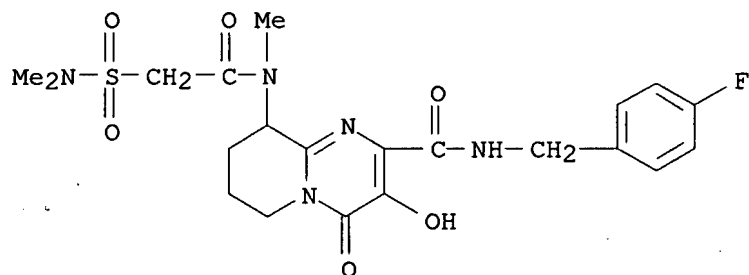
RN 724443-82-7 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl[(1,3,5-trimethyl-1H-pyrazol-4-yl)sulfonyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



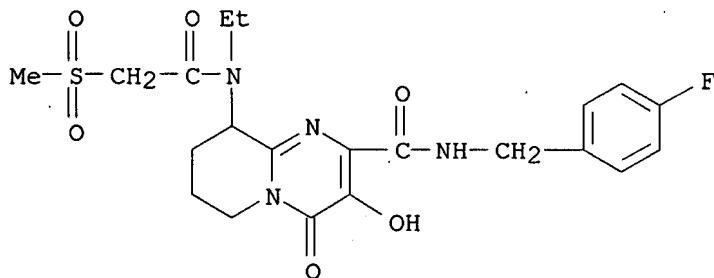
RN 724443-84-9 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[[(dimethylamino)sulfonyl]acetyl]methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



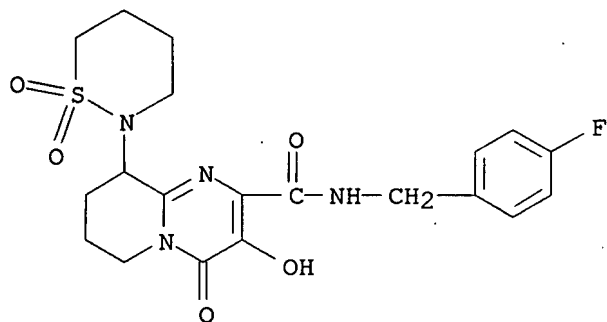
RN 724443-86-1 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[ethyl[(methylsulfonyl)acetyl]amino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



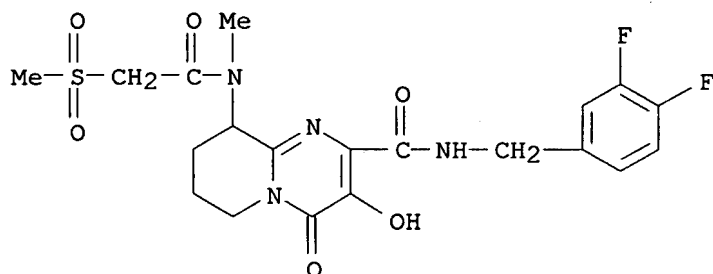
RN 724443-88-3 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-9-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



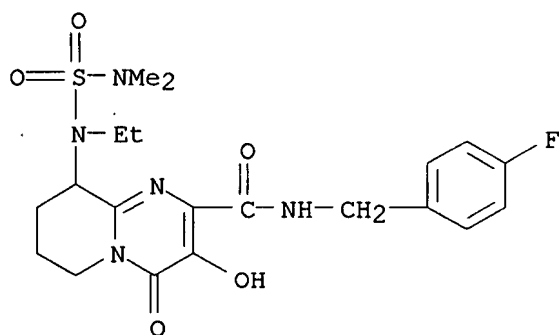
RN 724443-90-7 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(3,4-difluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(methylsulfonyl)acetyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 724443-92-9 CAPLUS

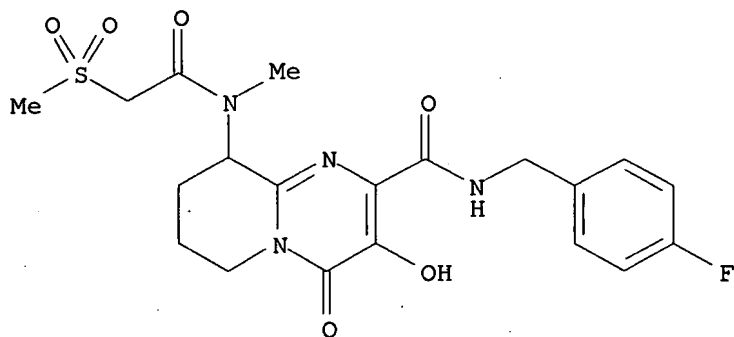
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[[(dimethylamino)sulfonyl]ethylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



RN 724443-94-1 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(methylsulfonyl)acetyl]amino-4-oxo-, (+)-(9CI) (CA INDEX NAME)

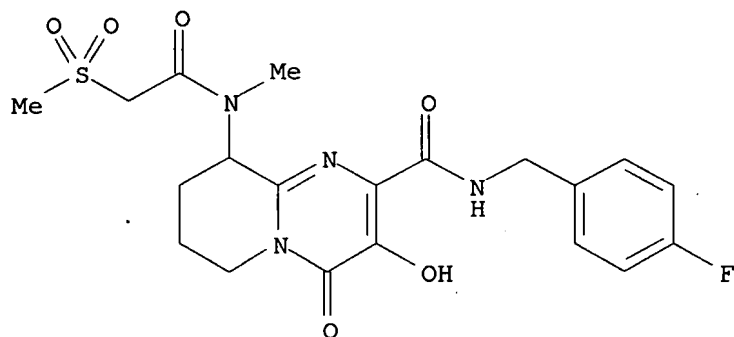
Rotation (+).



RN 724443-96-3 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(methylsulfonyl)acetyl]amino-4-oxo-, (-)-(9CI) (CA INDEX NAME)

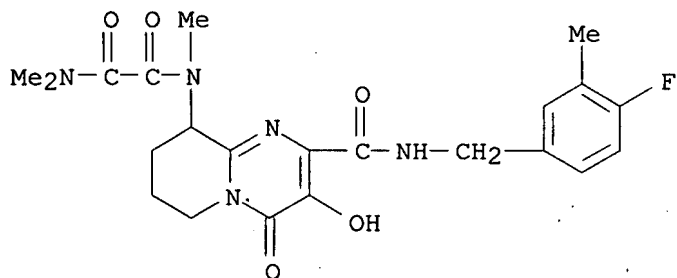
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RN 724443-97-4 CAPLUS

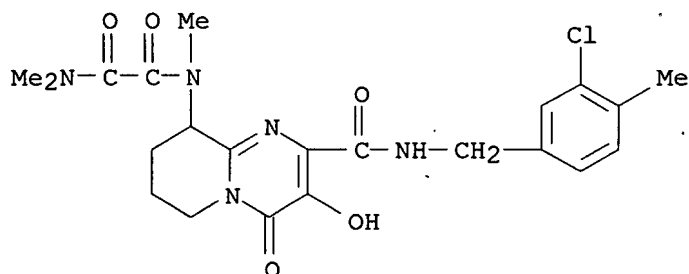
CN Ethanediarnide, [2-[[[(4-fluoro-3-methylphenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)





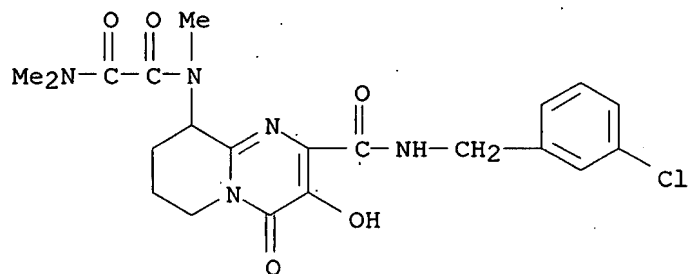
RN 724443-98-5 CAPLUS

CN Ethanediamide, [2-[[[(3-chloro-4-methylphenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)



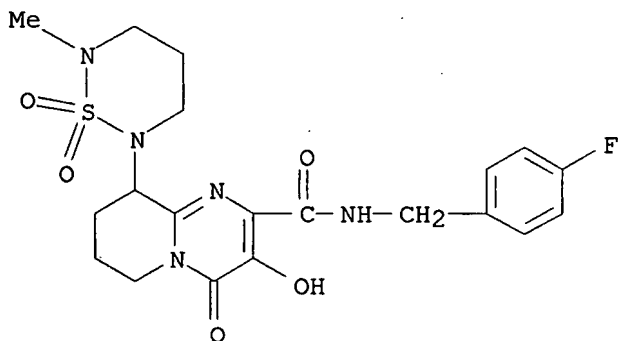
RN 724444-00-2 CAPLUS

CN Ethanediamide, [2-[[[(3-chlorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)



RN 724444-02-4 CAPLUS

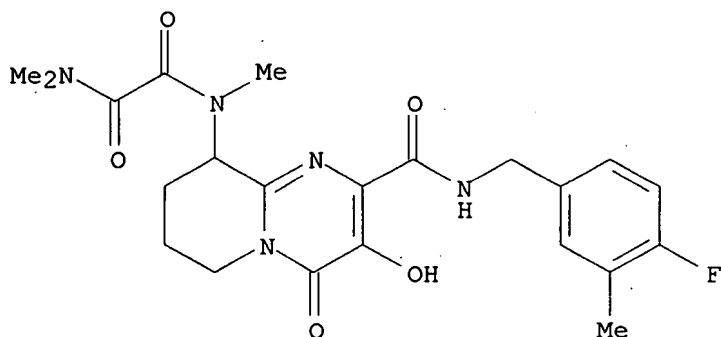
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-9-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)- (9CI) (CA INDEX NAME)



RN 724444-04-6 CAPLUS

CN Ethanediamide, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl-, (-)- (9CI) (CA INDEX NAME)

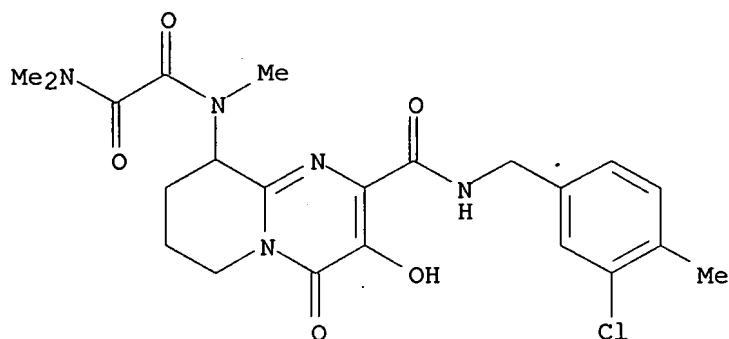
Rotation (-).



RN 724444-06-8 CAPLUS

CN Ethanediamide, [2-[[[(3-chloro-4-methylphenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl-, (-)- (9CI) (CA INDEX NAME)

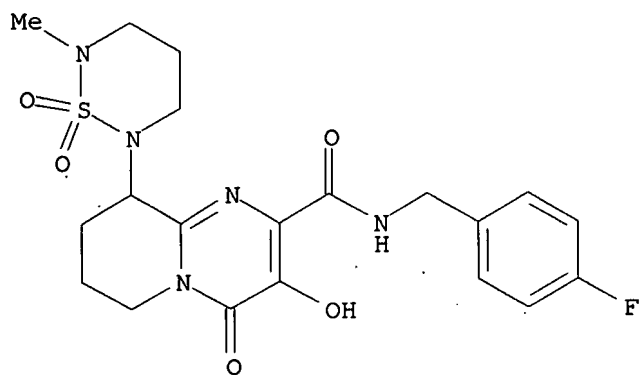
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RN 724444-08-0 CAPLUS

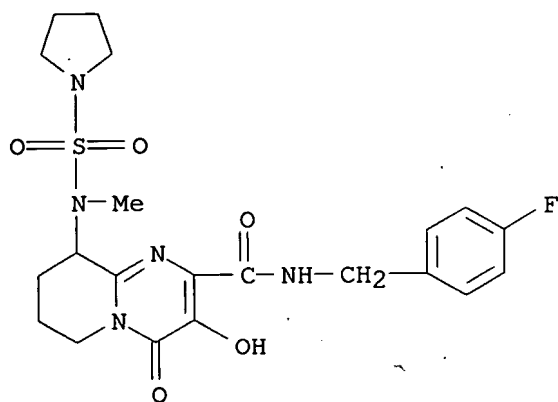
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-9-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



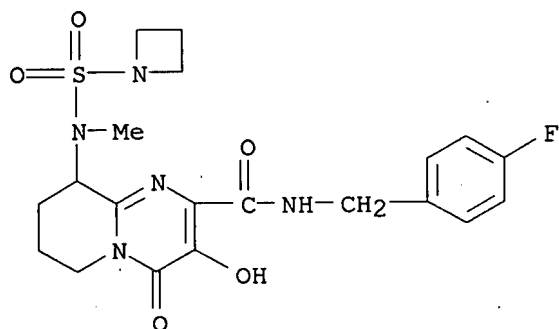
RN 724444-10-4 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(1-pyrrolidinylsulfonyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 724444-12-6 CAPLUS

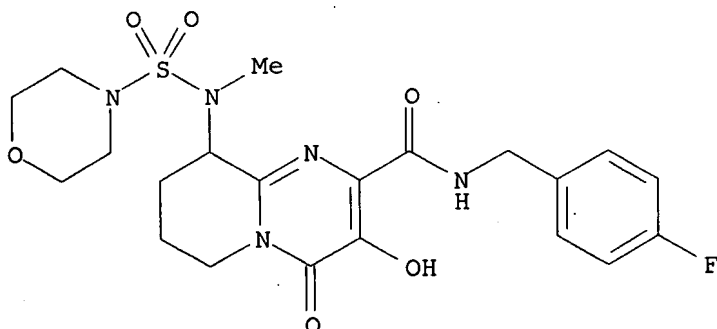
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[(1-azetidinylsulfonyl)methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



RN 724444-14-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(4-morpholinylsulfonyl)amino]-4-oxo-, (-) (9CI) (CA INDEX NAME)

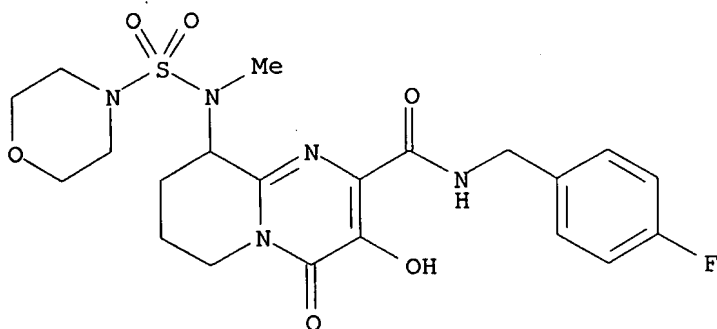
Rotation (-).



RN 724444-16-0 CAPLUS

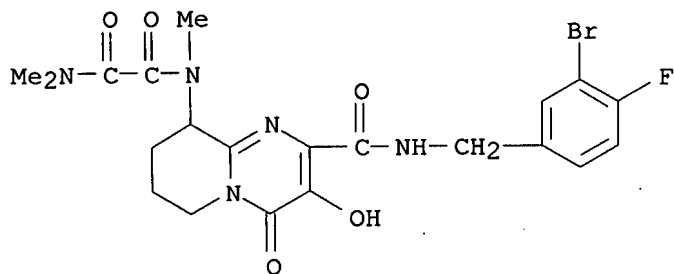
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(4-morpholinylsulfonyl)amino]-4-oxo-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



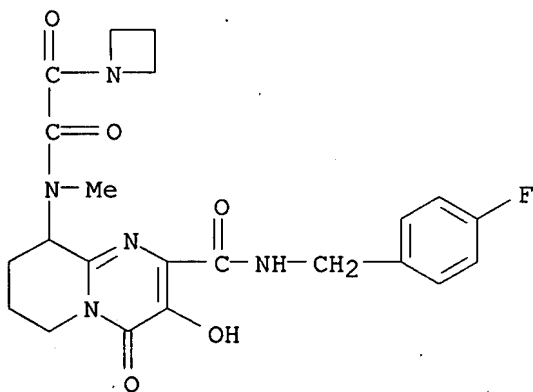
RN 724444-18-2 CAPLUS

CN Ethanediameide, [2-[[[(3-bromo-4-fluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)



RN 724444-20-6 CAPLUS

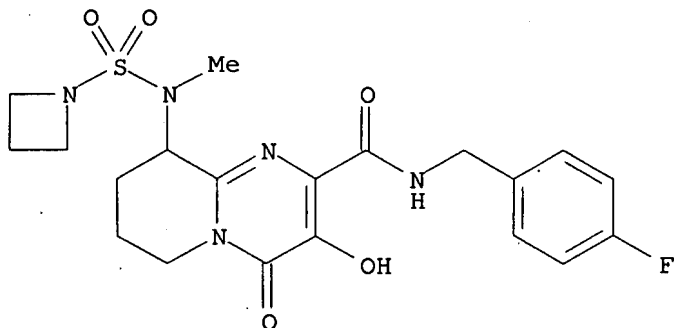
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[(1-azetidinyloxoacetyl)methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



RN 724444-22-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[(1-azetidinylsulfonyl)methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-, (+)- (9CI) (CA INDEX NAME)

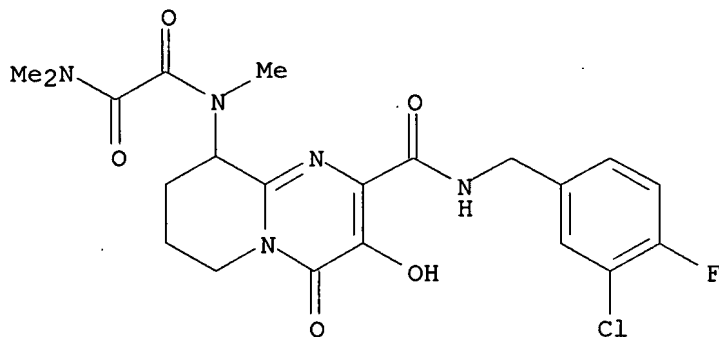
Rotation (+).



RN 724444-24-0 CAPLUS

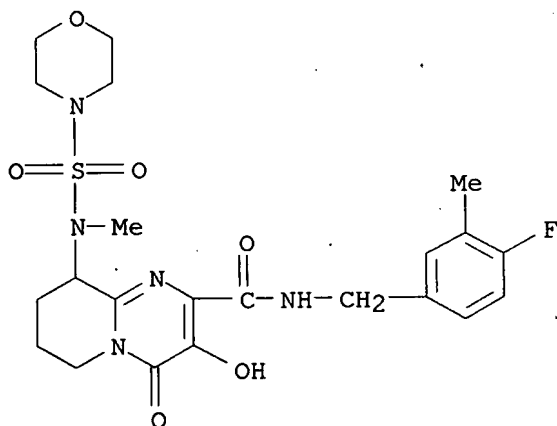
CN Ethanediameide, [2-[[[(3-chloro-4-fluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 724444-26-2 CAPLUS

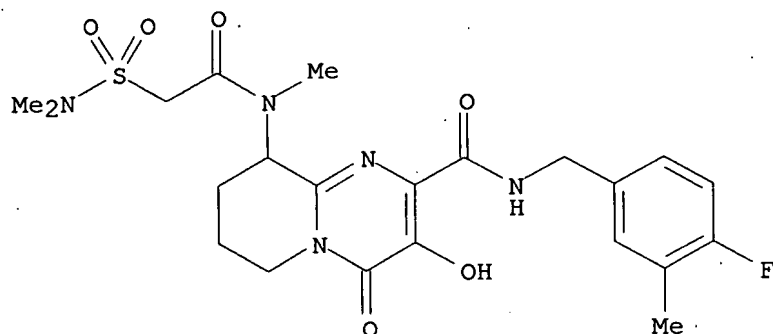
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluoro-3-methylphenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(4-morpholinylsulfonyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 724444-28-4 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[[(dimethylamino)sulfonyl]acetyl]methylamino]-N-[(4-fluoro-3-methylphenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-, (-)- (9CI) (CA INDEX NAME)

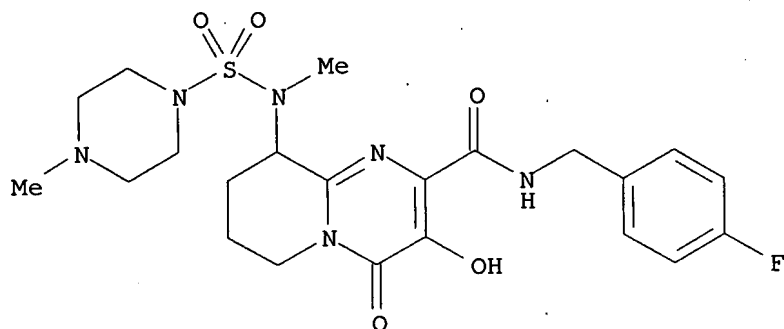
Rotation (-).



RN 724444-30-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl[(4-methyl-1-piperazinyl)sulfonyl]amino]-4-oxo-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

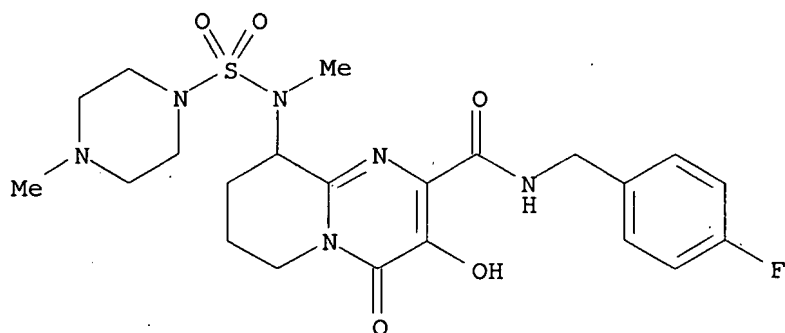


RN 724444-32-0 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-

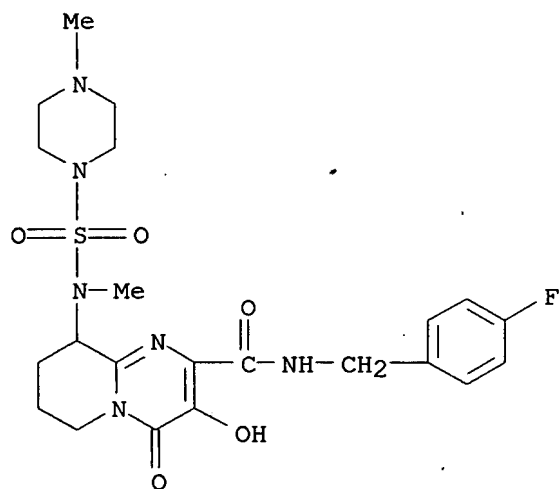
6,7,8,9-tetrahydro-3-hydroxy-9-[methyl[(4-methyl-1-piperazinyl)sulfonyl]amino]-4-oxo-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 724444-34-2 CAPLUS

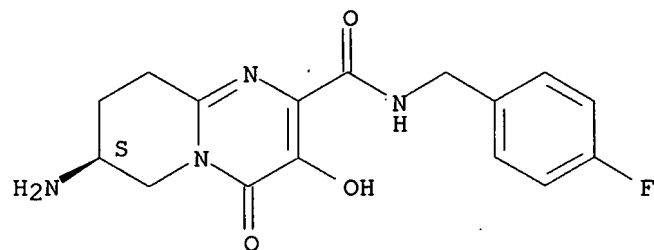
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl[(4-methyl-1-piperazinyl)sulfonyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 724444-36-4 CAPLUS

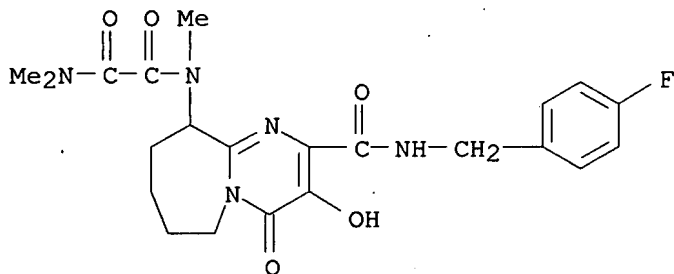
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 7-amino-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 724444-38-6 CAPLUS

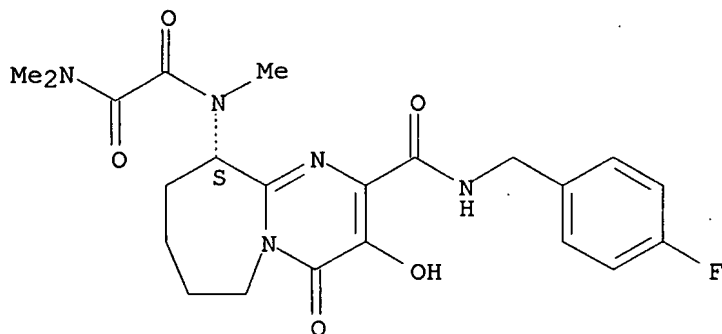
CN Ethanediame, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl- (9CI) (CA INDEX NAME)



RN 724444-40-0 CAPLUS

CN Ethanediame, [(10S)-2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl- (9CI) (CA INDEX NAME)

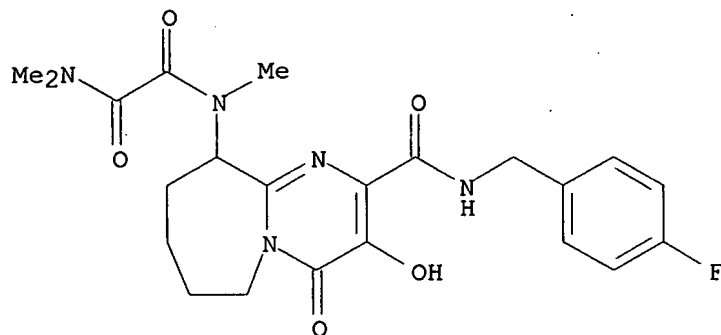
Absolute stereochemistry. Rotation (-).



RN 724444-42-2 CAPLUS

CN Ethanediame, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl-, (+)- (9CI) (CA INDEX NAME)

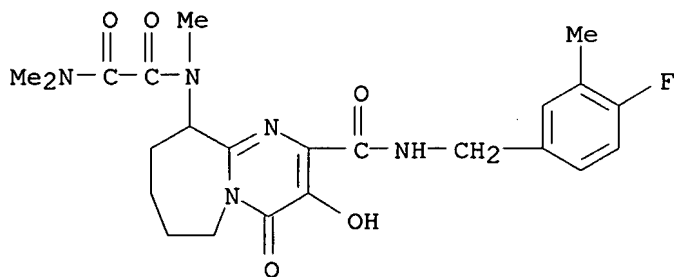
Rotation (+).



RN 724444-44-4 CAPLUS

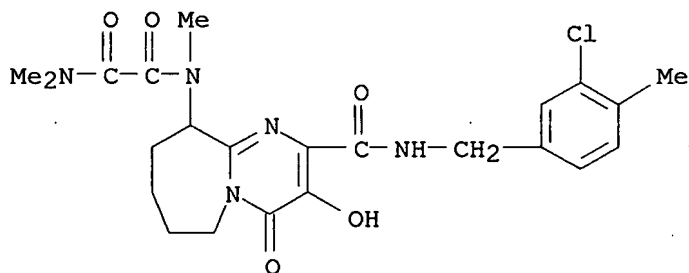
CN Ethanediame, [2-[[[(4-fluoro-3-methylphenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl- (9CI) (CA INDEX NAME)





RN 724444-46-6 CAPLUS

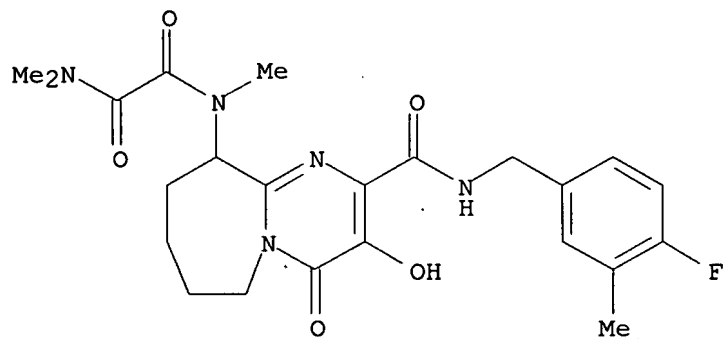
CN Ethanediame, [2-[[[(3-chloro-4-methylphenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl- (9CI) (CA INDEX NAME)



RN 724444-48-8 CAPLUS

CN Ethanediame, [2-[[[(4-fluoro-3-methylphenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl-, (+)- (9CI) (CA INDEX NAME)

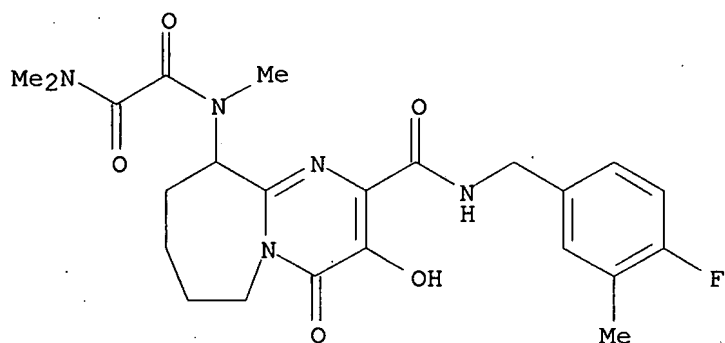
Rotation (+).



RN 724444-50-2 CAPLUS

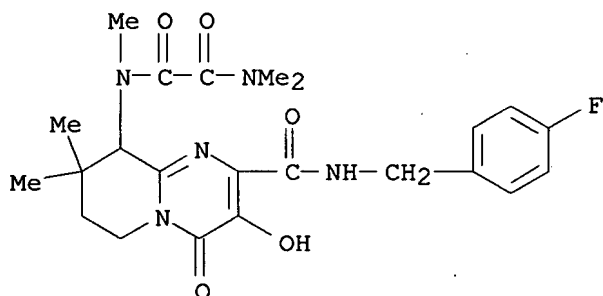
CN Ethanediame, [2-[[[(4-fluoro-3-methylphenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]trimethyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



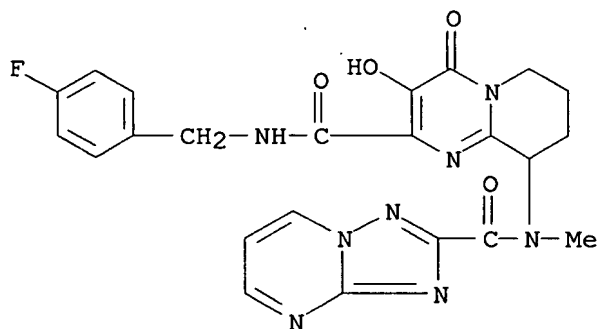
RN 724444-52-4 CAPLUS

CN Ethanediame, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-8,8-dimethyl-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)



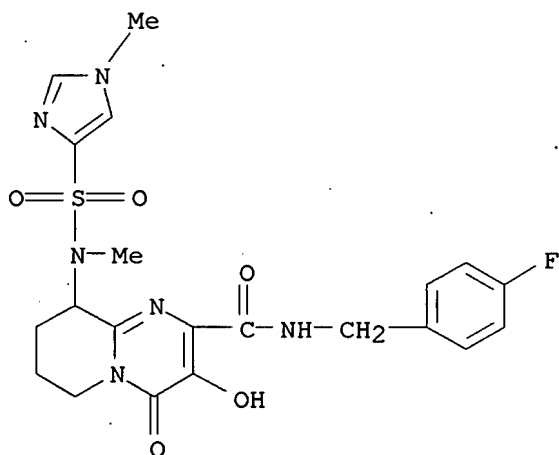
RN 724444-54-6 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl([1,2,4]triazolo[1,5-a]pyrimidin-2-yl)carbonyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



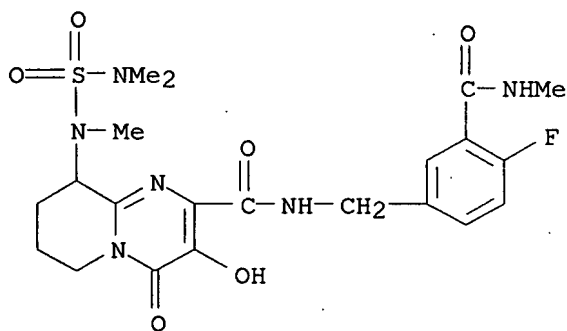
RN 724444-56-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl(1-methyl-1H-imidazol-4-yl)sulfonyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



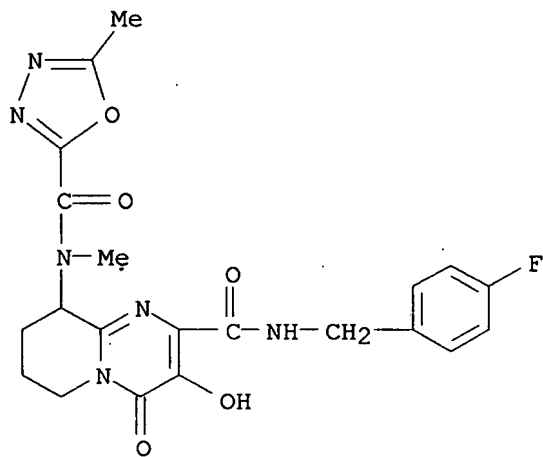
RN 724444-58-0 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[[dimethylamino)sulfonyl]methylamino]-N-[[4-fluoro-3-[(methylamino)carbonyl]phenyl]methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



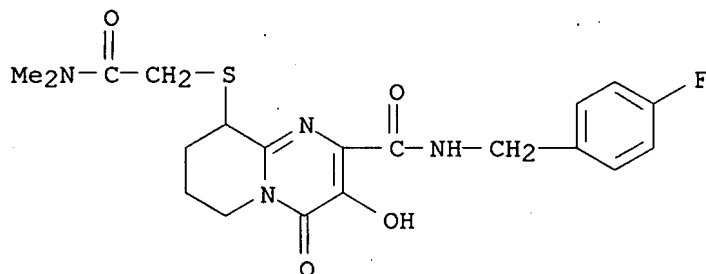
RN 724444-60-4 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl[(5-methyl-1,3,4-oxadiazol-2-yl)carbonyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



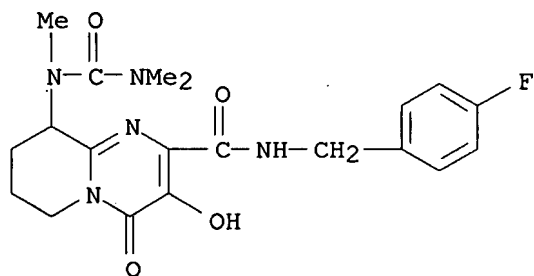
RN 724444-62-6 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[2-(dimethylamino)-2-oxoethyl]thio]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



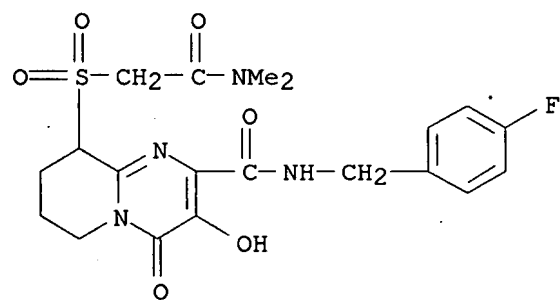
RN 724444-64-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[[(dimethylamino)carbonyl]methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



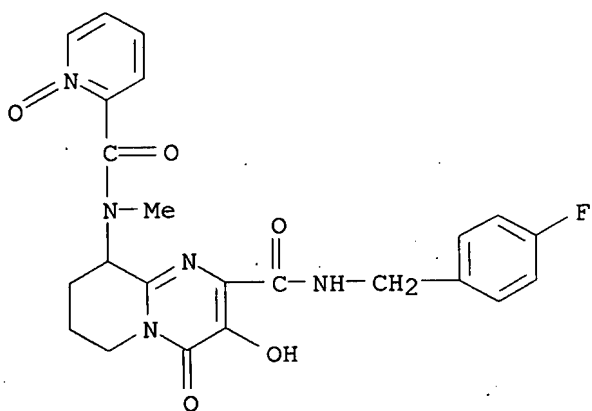
RN 724444-66-0 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[2-(dimethylamino)-2-oxoethyl]sulfonyl]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



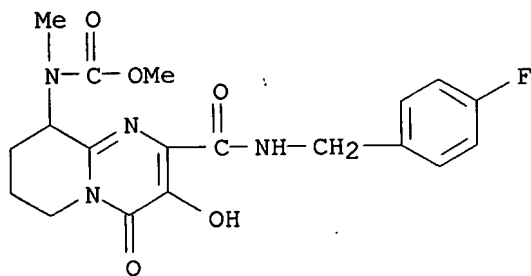
RN 724444-68-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl[(1-oxido-2-pyridinyl)carbonyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



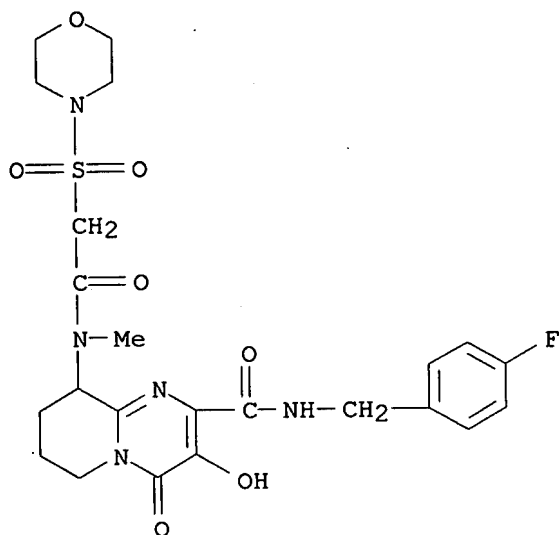
RN 724444-70-6 CAPLUS

CN Carbamic acid, [2'-[[[4-(4-fluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]methyl-, methyl ester (9CI) (CA INDEX NAME)



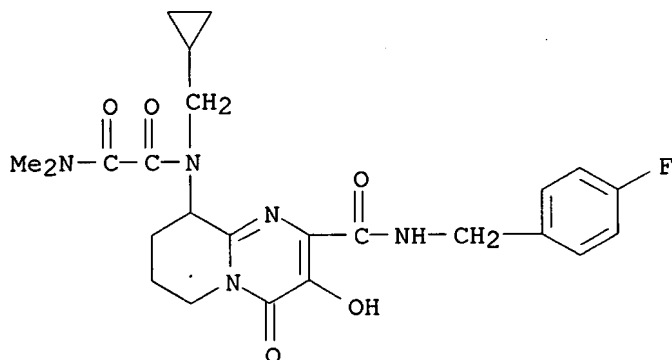
RN 724444-72-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-[methyl[(4-morpholinylsulfonyl)acetyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



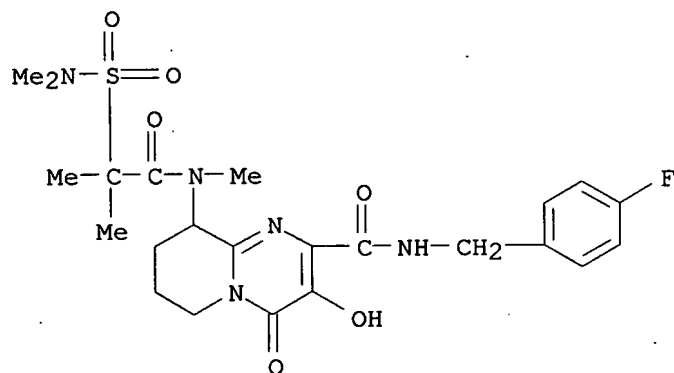
RN 724444-74-0 CAPLUS

CN Ethanediame, N-(cyclopropylmethyl)-N-[2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]-N',N'-dimethyl- (9CI) (CA INDEX NAME)



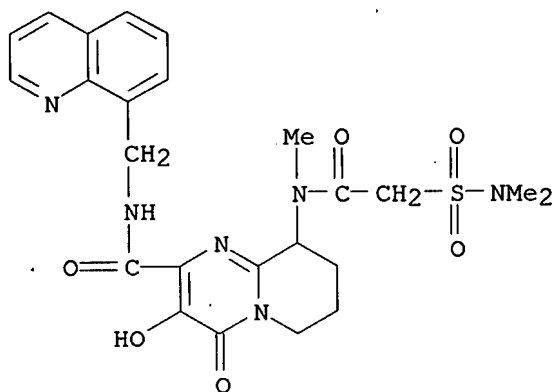
RN 724444-76-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[2-[(dimethylamino)sulfonyl]-2-methyl-1-oxopropyl]methylamino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



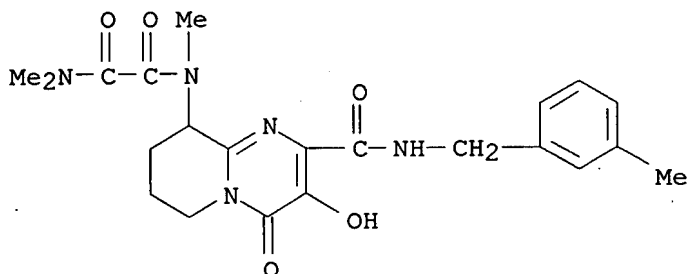
RN 724444-78-4 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[[(dimethylamino)sulfonyl]acetyl]methylamino]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-N-(8-quinolinylmethyl)- (9CI) (CA INDEX NAME)



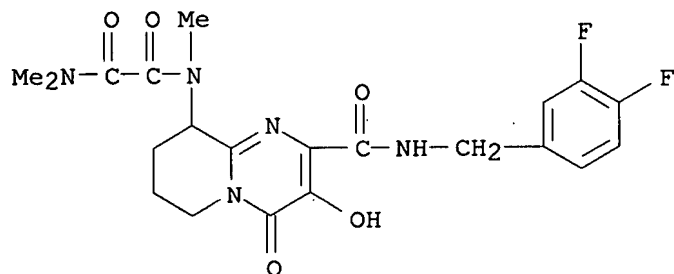
RN 724444-80-8 CAPLUS

CN Ethanediameide, trimethyl[6,7,8,9-tetrahydro-3-hydroxy-2-[[[(3-methylphenyl)methyl]amino]carbonyl]-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]- (9CI) (CA INDEX NAME)



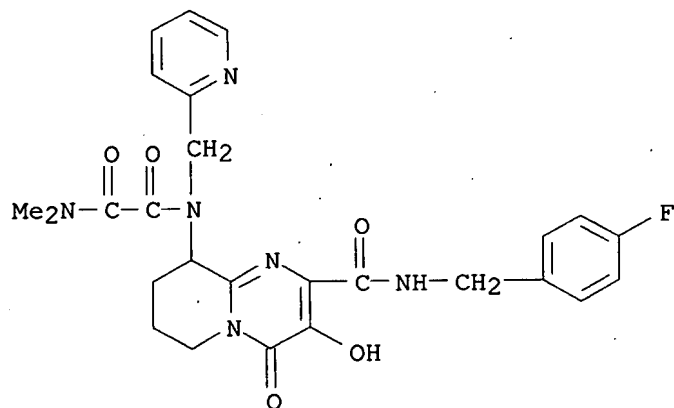
RN 724444-82-0 CAPLUS

CN Ethanediameide, [2-[[[(3,4-difluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)



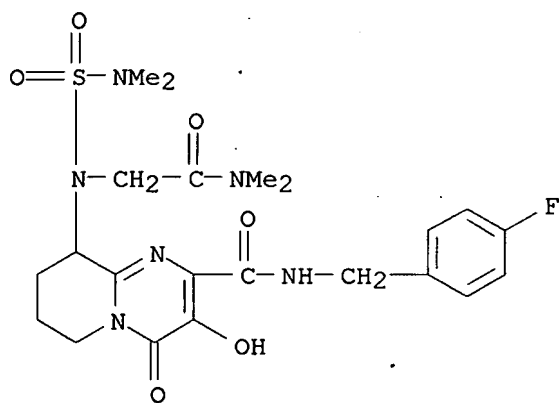
RN 724444-84-2 CAPLUS

CN Ethanediameide, N-[2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]-N',N'-dimethyl-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



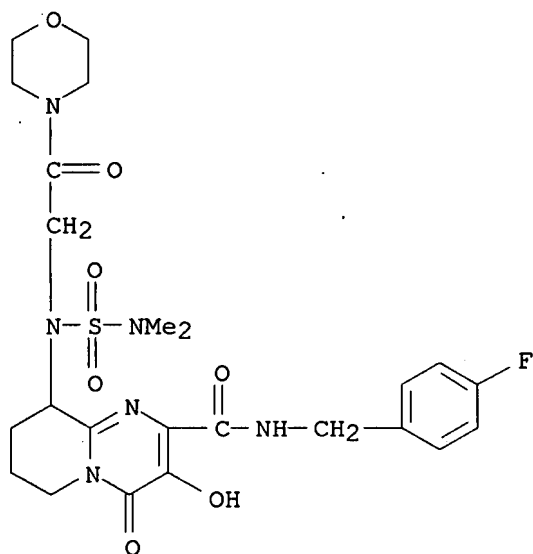
RN 724444-86-4 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[2-(dimethylamino)-2-oxoethyl][[(dimethylamino)sulfonyl]amino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



RN 724444-88-6 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 9-[[[(dimethylamino)sulfonyl][2-(4-morpholinyl)-2-oxoethyl]amino]-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)

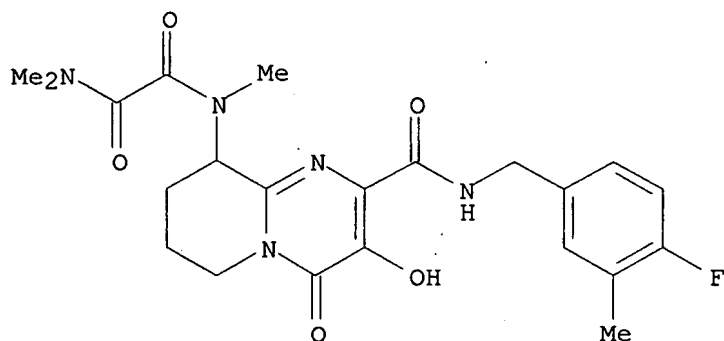


RN 724444-90-0 CAPLUS

CN Ethanediarnide, [2-[[[(4-fluoro-3-methylphenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl-, (+)- (9CI) (CA INDEX NAME)

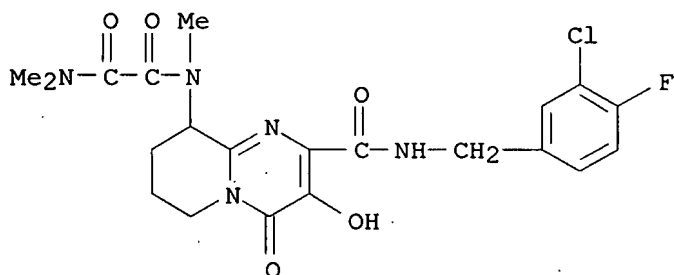
Rotation (+).





RN 724444-92-2 CAPLUS

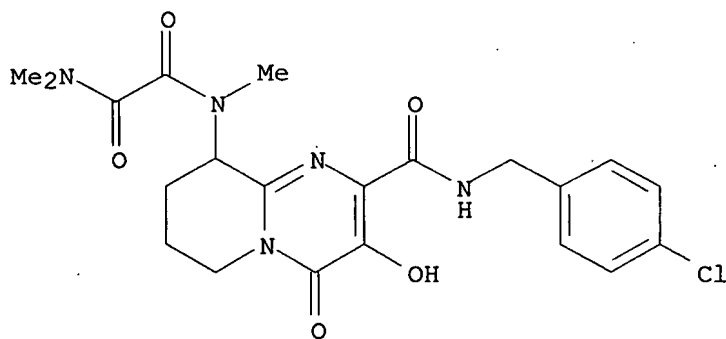
CN Ethanediamide, [2-[[[(3-chloro-4-fluorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl- (9CI) (CA INDEX NAME)



RN 724444-94-4 CAPLUS

CN Ethanediamide, [2-[[[(4-chlorophenyl)methyl]amino]carbonyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-4H-pyrido[1,2-a]pyrimidin-9-yl]trimethyl-, (-)-(9CI) (CA INDEX NAME)

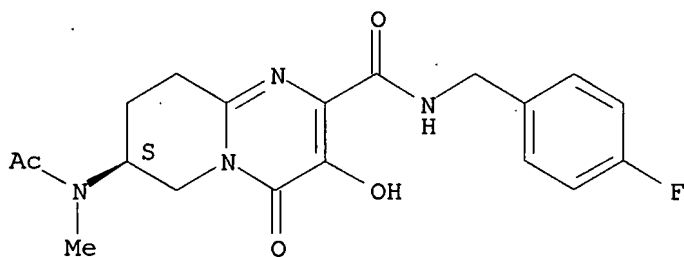
Rotation (-).



RN 724444-96-6 CAPLUS

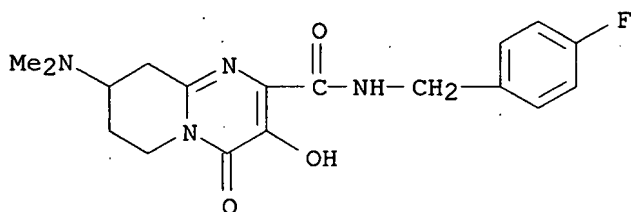
CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 7-(acetylmethylamino)-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



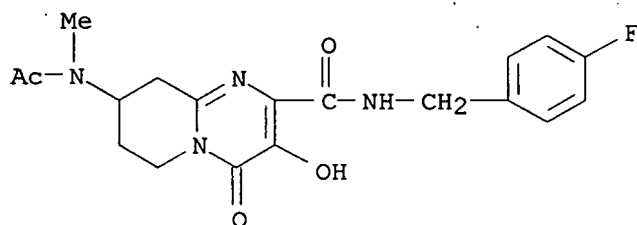
RN 724444-98-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 8-(dimethylamino)-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



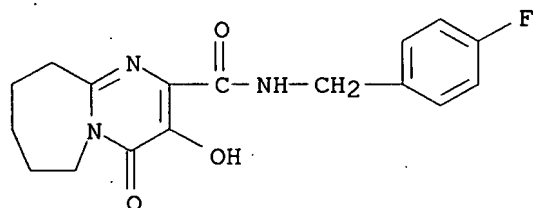
RN 724445-00-5 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, 8-(acetylmethylamino)-N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



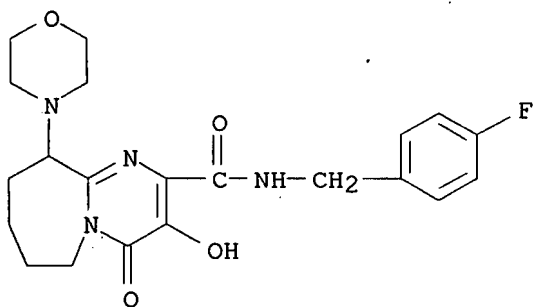
RN 724445-02-7 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



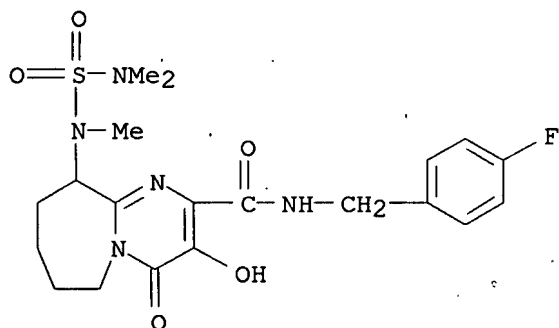
RN 724445-04-9 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-10-(4-morpholinyl)-4-oxo- (9CI) (CA INDEX NAME)



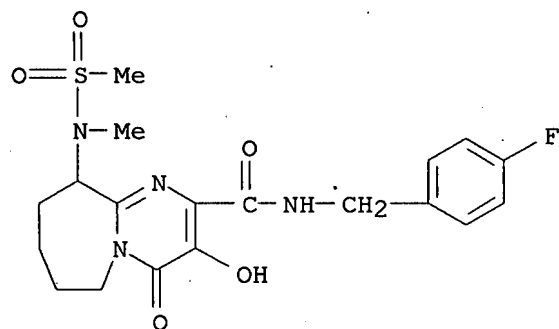
RN 724445-06-1 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, 10-[[[(dimethylamino)sulfonyl]methylamino]-N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



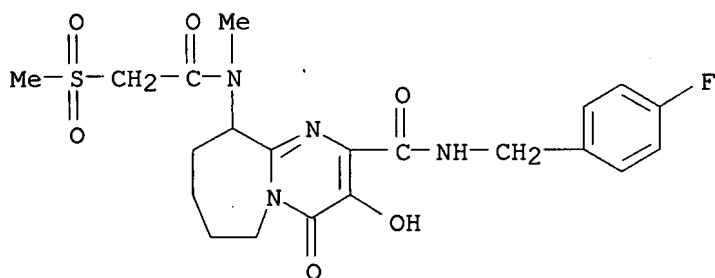
RN 724445-08-3 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-10-[methyl(methylsulfonyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



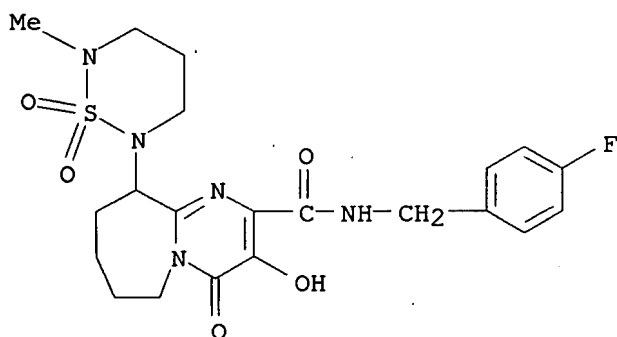
RN 724445-10-7 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-10-[methyl[(methylsulfonyl)acetyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



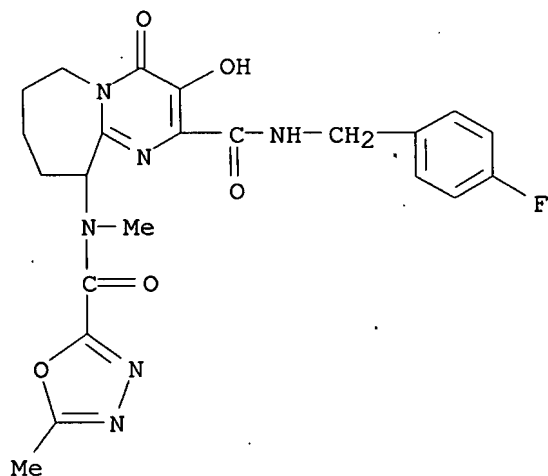
RN 724445-11-8 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxo-10-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)- (9CI) (CA INDEX NAME)



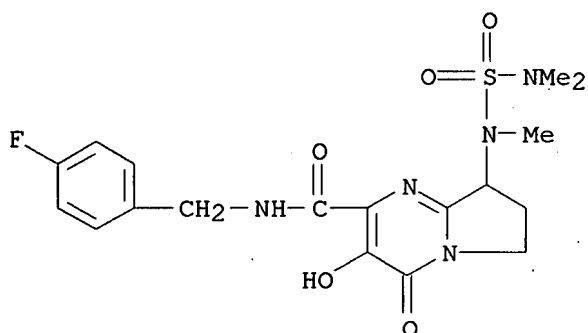
RN 724445-13-0 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-10-[methyl[(5-methyl-1,3,4-oxadiazol-2-yl)carbonyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 724445-15-2 CAPLUS

CN Pyrrolo[1,2-a]pyrimidine-2-carboxamide, 8-[[[(dimethylamino)sulfonyl]methylamino]-N-[(4-fluorophenyl)methyl]-4,6,7,8-tetrahydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



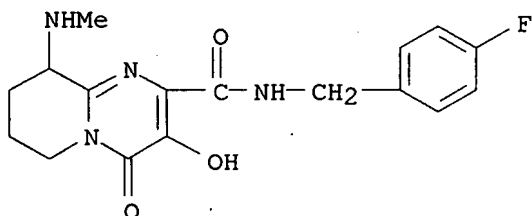
IT 724445-39-0P 724445-70-9P 724445-88-9P  
 724445-91-4P 724446-08-6P 724446-10-0P  
 724446-18-8P 724446-20-2P 724446-22-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetrahydropyridopyrimidine derivs. as HIV integrase inhibitors)

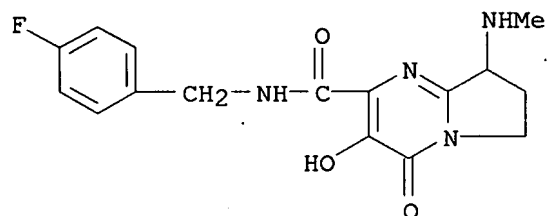
RN 724445-39-0 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-3-hydroxy-9-(methylamino)-4-oxo- (9CI) (CA INDEX NAME)



RN 724445-70-9 CAPLUS

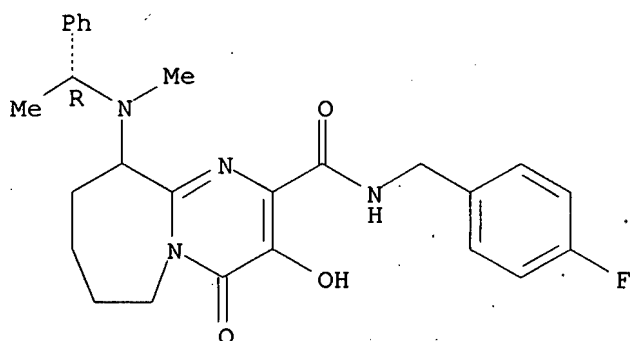
CN Pyrrolo[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8-tetrahydro-3-hydroxy-8-(methylamino)-4-oxo- (9CI) (CA INDEX NAME)



RN 724445-88-9 CAPLUS

CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-10-[methyl[(1R)-1-phenylethyl]amino]-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 724445-91-4 CAPLUS

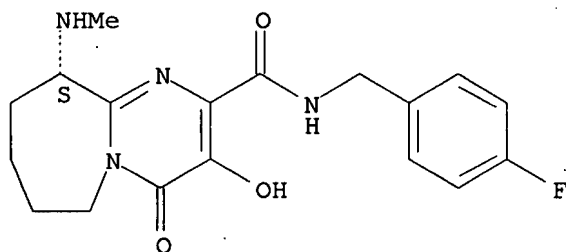
CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-10-(methylamino)-4-oxo-, (-)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 724445-90-3

CMF C18 H21 F N4 O3

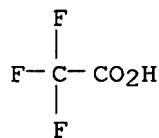
Absolute stereochemistry. Rotation (-).



CM 2

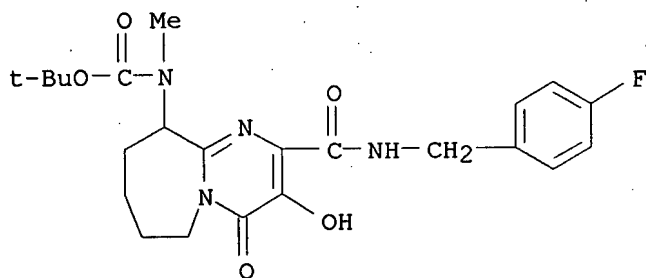
CRN 76-05-1

CMF C2 H F3 O2



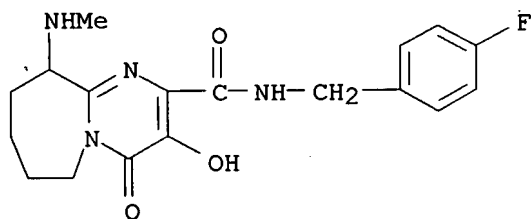
RN 724446-08-6 CAPLUS

CN Carbamic acid, [2-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxopyrimido[1,2-a]azepin-10-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 724446-10-0 CAPLUS

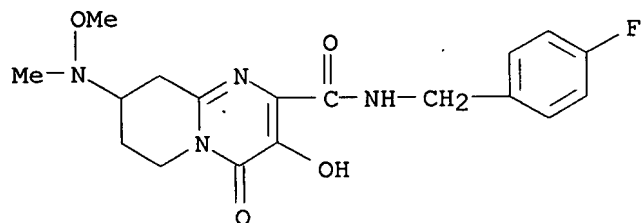
CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
4,6,7,8,9,10-hexahydro-3-hydroxy-10-(methylamino)-4-oxo-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

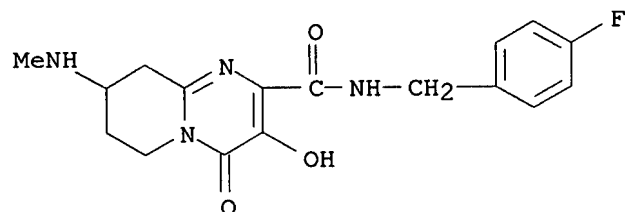
RN 724446-18-8 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
6,7,8,9-tetrahydro-3-hydroxy-8-(methoxymethylamino)-4-oxo- (9CI) (CA  
INDEX NAME)



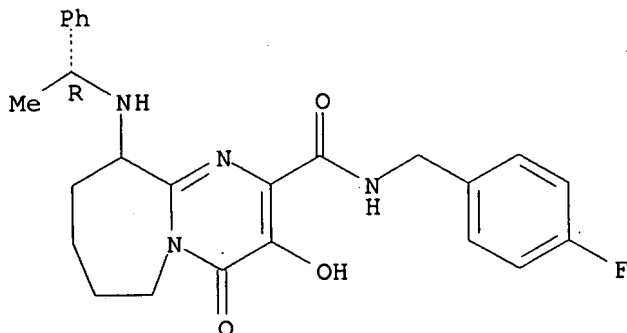
RN 724446-20-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
6,7,8,9-tetrahydro-3-hydroxy-8-(methylamino)-4-oxo- (9CI) (CA INDEX NAME)

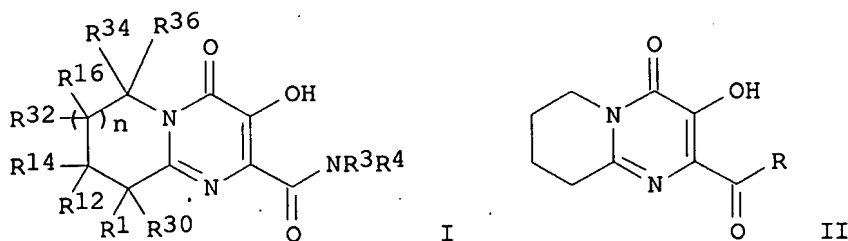


RN 724446-22-4 CAPLUS  
 CN Pyrimido[1,2-a]azepine-2-carboxamide, N-[(4-fluorophenyl)methyl]-  
 4,6,7,8,9,10-hexahydro-3-hydroxy-4-oxo-10-[[ (1R)-1-phenylethyl]amino]-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB The preparation of tetrahydro-4H-pyrido[1,2-a]pyrimidines I [R1, R12, R16 = independently H, NR2R5, OR2, SR2, S(O)R2, SO2R2, SO2NR2R5m O2CNR2R5, R11, C1-6 alkyl, SR18, SO2R18, N[SO2N(C1-6 alkyl)2]R18, etc; R2 = H, (un)substituted C1-6 alkyl, 5-6 membered heteroarom. ring; R5 = H, (un)substituted C1-6 alkyl, (un)substituted COC1-6 alkyl, COC1-6 fluoroalkyl, COR7, COCONR8R9, SO2NR8R9, SO2R7, COCOR10; NR2R5 form 4-7 membered heterocyclic ring; R7, R11 = heterocyclic ring; R8, R8 = C1-6 alkyl, aryl; R14, R30, R32, R34, R36 = independently H, (un)substituted C1-6 alkyl; R18 = substituted C1-6 alkyl; R3 = H, C1-6 alkyl; R4 = H, (un)substituted C1-6 alkyl, OC1-4 alkyl, C2-5 alkynyl, C3-8 cycloalkyl, aryl, or heteroaryl; NR3R4 = C3-7 (un)substituted azacycloalkyl ring; n = 0-3] and related compds. are described. Thus, cyclocondensation of 2-iminopiperidin-1-ol hydrochloride (prepared in 3 steps from tert-Bu benzyloxycarbamate and 5-chlorovaleronitrile) and di-Me acetylenedicarboxylate gave tetrahydropyridopyrimidinecarboxylate II (R = OMe). Amidation of II (R = OMe) with 4-fluorobenzylamine gave title compound II (R = 4-CH2C6H4F). These compds. are inhibitors of HIV integrase and inhibitors of HIV replication, and useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS (no data). The compds. can be employed against HIV infection and AIDS as compds. per se or in the form of pharmaceutically acceptable salts. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.



=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

20.90

188.05

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-3.00

-3.00

STN INTERNATIONAL LOGOFF AT 07:40:40 ON 27 JUN 2006